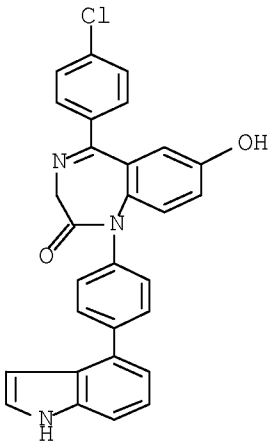
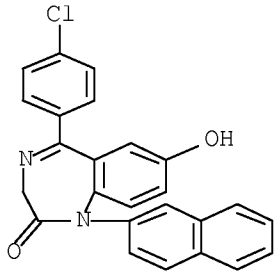
L11 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:484841 CAPLUS Full-text
DN 146:468569
TI Therapeutic compositions and methods
IN Glick, Gary D.; Roush, William R.
PA The Regents of the University of Michigan, USA
SO PCT Int. Appl., 58pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007050587	A2	20070503	WO 2006-US41446	20061025
	WO 2007050587	A3	20071129		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2006306347	A1	20070503	AU 2006-306347	20061025
	CA 2627757	A1	20070503	CA 2006-2627757	20061025
	US 20070105844	A1	20070510	US 2006-586097	20061025
	EP 1951050	A2	20080806	EP 2006-817334	20061025
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRAI	US 2005-730711P	P	20051026		
	WO 2006-US41446	W	20061025		

OS MARPAT 146:468569
AB The present invention relates to novel chemical compds., methods for their discovery, and their therapeutic use. In particular, the present invention provides benzodiazepine derivs. and structurally and functionally related compds. and methods of using benzodiazepine derivs. and related compds. as therapeutic agents to treat a number of conditions.
IT 935253-82-0 935253-91-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic compns. and methods)
RN 935253-82-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-chlorophenyl)-1,3-dihydro-7-hydroxy-1-[4-(1H-indol-4-yl)phenyl]- (CA INDEX NAME)



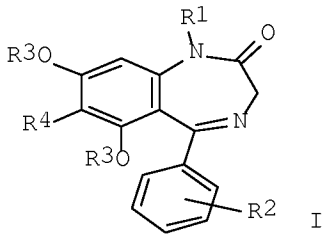
RN 935253-91-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-chlorophenyl)-1,3-dihydro-7-hydroxy-1-(2-naphthalenyl)- (CA INDEX NAME)



L11 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:138640 CAPLUS Full-text
DN 146:229389
TI Preparation of benzodiazepine derivatives having PDE2 inhibitory activities
IN Abarghaz, Mustapha; Biondi, Stefano; Duranton, Jerome; Mondadori, Cesare; Wagner, Patrick
PA Neuro3d, Fr.
SO Eur. Pat. Appl., 36pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1749824	A1	20070207	EP 2005-291658	20050803
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2616797	A1	20070308	CA 2006-2616797	20060802
WO 2007026254	A2	20070308	WO 2006-IB3295	20060802
WO 2007026254	A3	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1910315	A2	20080416	EP 2006-820937	20060802
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI EP 2005-291658	A	20050803		
WO 2006-IB3295	W	20060802		

OS CASREACT 146:229389; MARPAT 146:229389
GI

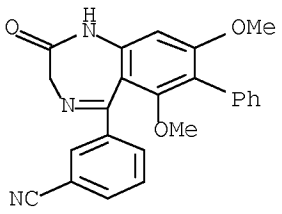


I

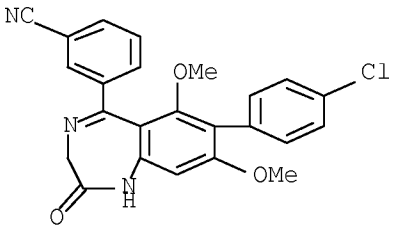
AB The title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, haloalkyl; R4 = (un)substituted (hetero)aryl] having PDE2 inhibitory activities and therefore useful in particular for treating various diseases of the central or peripheral nervous system, were prepared E.g., a multi-step synthesis of I [R1 = Me; R2 = 3-CONH2; R3 = Me; R4 = 4-ClC6H4], starting from 4-bromo-3,5-dimethoxyphenylamine and 4-chlorophenylboronic acid, was given. The mentioned above exemplified compound I showed 98% PDE2 inhibition at 10 μM. Pharmaceutical compns. comprising said compds. I are disclosed.

IT 923957-84-0P 923957-85-1P 923957-87-3P
923957-88-4P 923957-89-5P 923957-90-8P
923957-91-9P 923957-93-1P 923957-94-2P
923957-95-3P 923957-97-5P 923957-98-6P
923957-99-7P 923958-00-3P 923958-11-6P
923958-12-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted benzodiazepinones with PDE2 inhibitory activity and useful in treating various diseases of central or peripheral nervous system)

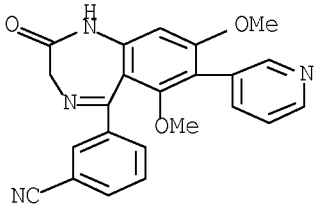
RN 923957-84-0 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



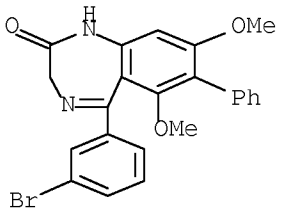
RN 923957-85-1 CAPLUS
CN Benzonitrile, 3-[7-(4-chlorophenyl)-2,3-dihydro-6,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



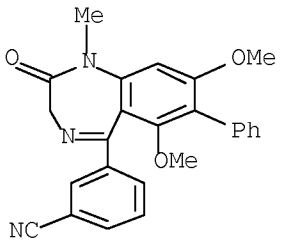
RN 923957-87-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-(3-pyridinyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



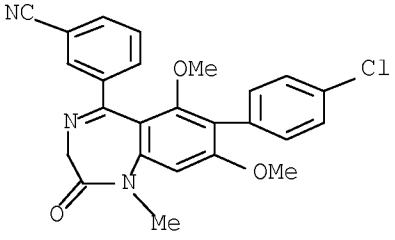
RN 923957-88-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-6,8-dimethoxy-7-phenyl- (CA INDEX NAME)



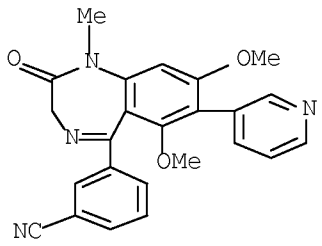
RN 923957-89-5 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



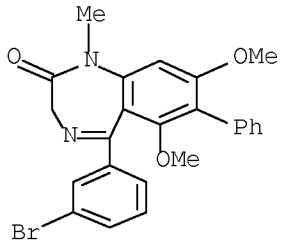
RN 923957-90-8 CAPLUS
CN Benzonitrile, 3-[7-(4-chlorophenyl)-2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



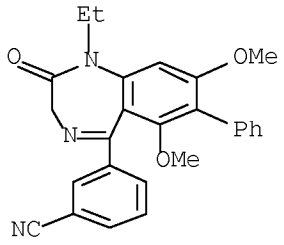
RN 923957-91-9 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-7-(3-pyridinyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



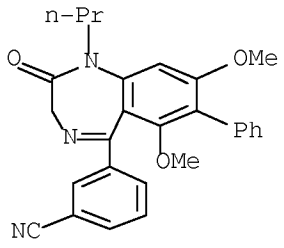
RN 923957-93-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-6,8-dimethoxy-1-methyl-7-phenyl- (CA INDEX NAME)



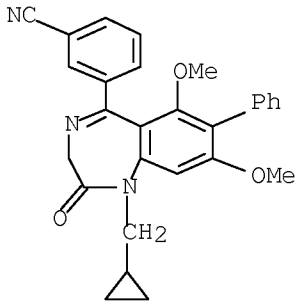
RN 923957-94-2 CAPLUS
CN Benzonitrile, 3-(1-ethyl-2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



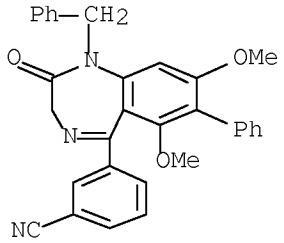
RN 923957-95-3 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-propyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



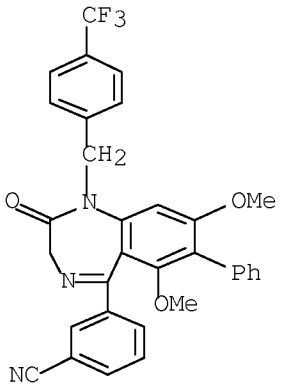
RN 923957-97-5 CAPLUS
CN Benzonitrile, 3-[1-(cyclopropylmethyl)-2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



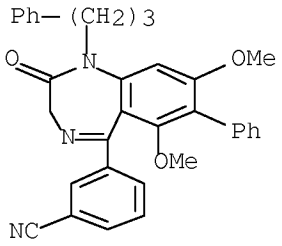
RN 923957-98-6 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



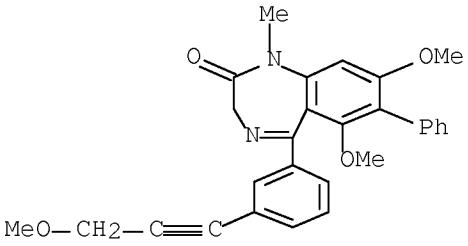
RN 923957-99-7 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



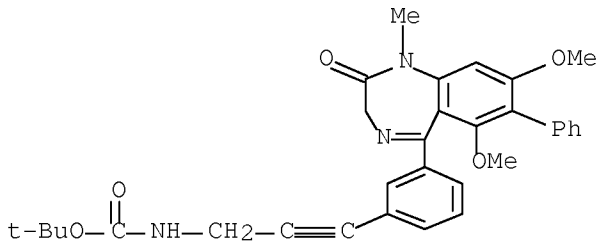
RN 923958-00-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



RN 923958-11-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-6,8-dimethoxy-5-[3-(3-methoxy-1-propyn-1-yl)phenyl]-1-methyl-7-phenyl- (CA INDEX NAME)

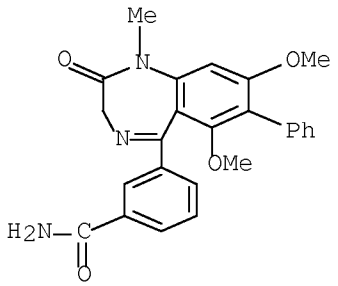


RN 923958-12-7 CAPLUS
CN Carbamic acid, N-[3-[3-(2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)phenyl]-2-propyn-1-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

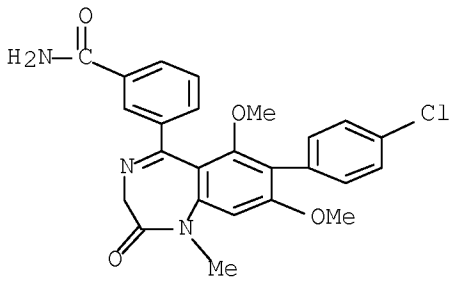


IT 923958-01-4P 923958-02-5P 923958-03-6P
923958-04-7P 923958-05-8P 923958-06-9P
923958-07-0P 923958-08-1P 923958-09-2P
923958-10-5P 923958-13-8P 923958-15-0P
923958-17-2P 923958-18-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzodiazepinones with PDE2 inhibitory activity and useful in treating various diseases of central or peripheral nervous system)

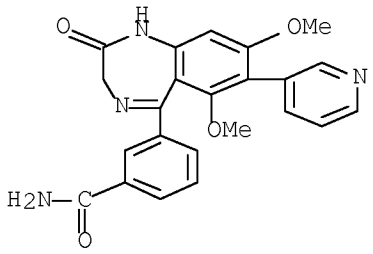
RN 923958-01-4 CAPLUS
CN Benzamide, 3-(2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



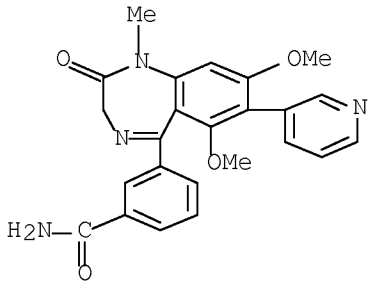
RN 923958-02-5 CAPLUS
CN Benzamide, 3-[7-(4-chlorophenyl)-2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



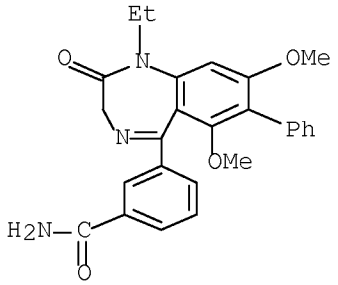
RN 923958-03-6 CAPLUS
CN Benzamide, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-(3-pyridinyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



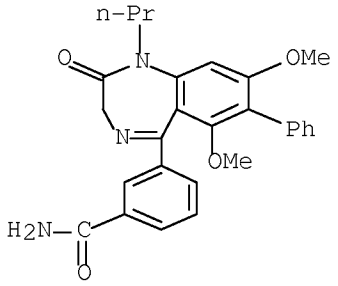
RN 923958-04-7 CAPLUS
CN Benzamide, 3-[2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-7-(3-pyridinyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



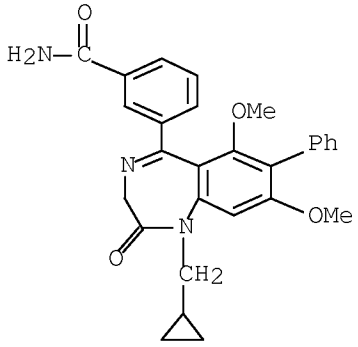
RN 923958-05-8 CAPLUS
CN Benzamide, 3-(1-ethyl-2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



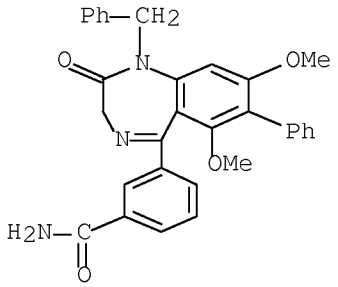
RN 923958-06-9 CAPLUS
CN Benzamide, 3-(2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-propyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



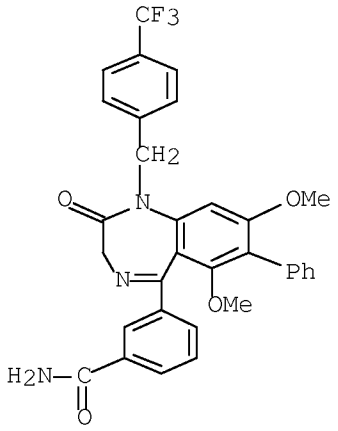
RN 923958-07-0 CAPLUS
CN Benzamide, 3-[1-(cyclopropylmethyl)-2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



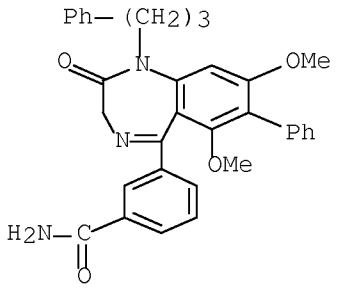
RN 923958-08-1 CAPLUS
CN Benzamide, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



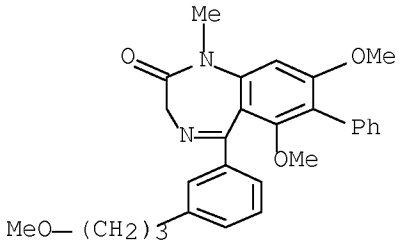
RN 923958-09-2 CAPLUS
CN Benzamide, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



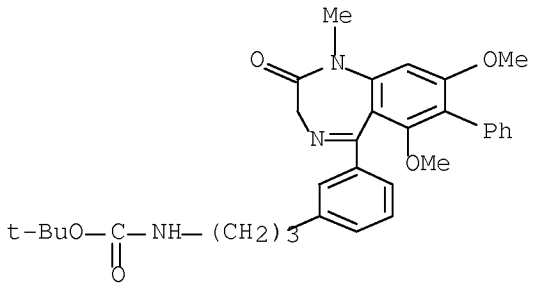
RN 923958-10-5 CAPLUS
CN Benzamide, 3-[2,3-dihydro-6,8-dimethoxy-2-oxo-7-phenyl-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



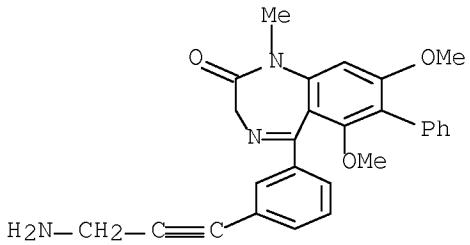
RN 923958-13-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-6,8-dimethoxy-5-[3-(3-methoxypropyl)phenyl]-1-methyl-7-phenyl- (CA INDEX NAME)



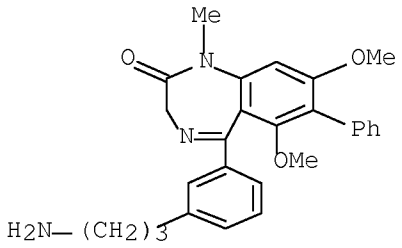
RN 923958-15-0 CAPLUS
CN Carbamic acid, N-[3-[3-(2,3-dihydro-6,8-dimethoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)phenyl]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 923958-17-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(3-amino-1-propyn-1-yl)phenyl]-1,3-dihydro-6,8-dimethoxy-1-methyl-7-phenyl- (CA INDEX NAME)



RN 923958-18-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(3-aminopropyl)phenyl]-1,3-dihydro-6,8-dimethoxy-1-methyl-7-phenyl- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:341640 CAPLUS Full-text
DN 144:390948
TI Preparation of pyrazolobenzodiazepine derivatives as anticancer agents
IN Liu, Jin-Jun; Luk, Kin-Chun; Pizzolato, Giacomo; Ren, Yi; Thakkar, Kshitij Chhabilbhai; Wovkulich, Peter Michael; Zhang, Zhuming
PA USA
SO U.S. Pat. Appl. Publ., 61 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20060079511	A1	20060413	US 2005-244251	20051005
AU 2005293818	A1	20060420	AU 2005-293818	20051004
CA 2582985	A1	20060420	CA 2005-2582985	20051004
WO 2006040036	A1	20060420	WO 2005-EP10653	20051004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1802625	A1	20070704	EP 2005-792946	20051004
EP 1802625	B1	20080618		
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CN 101039946	A	20070919	CN 2005-80035121	20051004
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AT 398619	T	20080715	AT 2005-792946	20051004
NO 2007001794	A	20070710	NO 2007-1794	20070403
MX 200704464	A	20070507	MX 2007-4464	20070413
KR 2007054243	A	20070528	KR 2007-708406	20070413
KR 858262	B1	20080911		
IN 2007CN01503	A	20070831	IN 2007-CN1503	20070413
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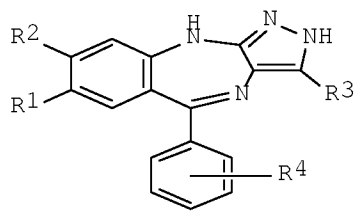
WO 2005-EP10653	W	20051004		

OS CASREACT 144:390948; MARPAT 144:390948
GI



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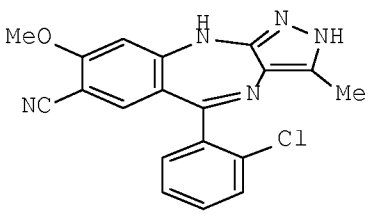

II

AB The title compds. I [wherein R1 = alkyl, alkoxy, halo, etc.; R2 = alkyl, halo, OH, etc.; R3 = H or alkyl; R4 = H, halo, CN, NO2, alkyl, or alkoxy] or pharmaceutically acceptable salts thereof were prepared For example, the compound II was prepared in a multi-step synthesis. II inhibited kinase CDK2 with IC50 of 0.184 μM. I are useful as inhibitors of angiogenesis for the treatment of cancers, in particular breast, colon, prostate, and lung cancer. Formulations containing I as an active ingredient were also described.

IT 882531-53-5P 882531-54-6P 882531-55-7P
882531-56-8P 882531-59-1P 882531-60-4P
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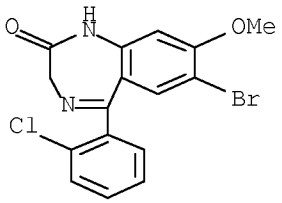
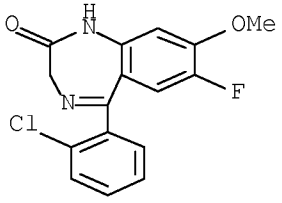
882531-85-3P 882532-22-1P 882532-23-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolobenzodiazepine derivs. as anticancer agents)

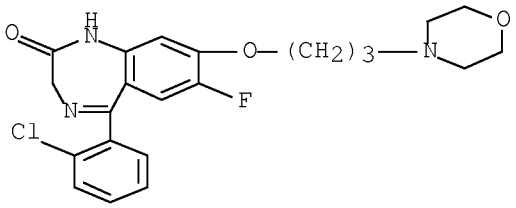
RN 882531-53-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-methoxy- (CA INDEX NAME)



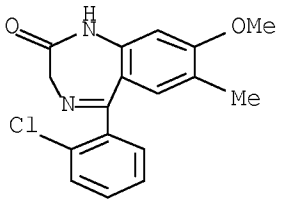
RN 882531-54-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-chlorophenyl)-7-fluoro-1,3-dihydro-8-methoxy- (CA INDEX NAME)



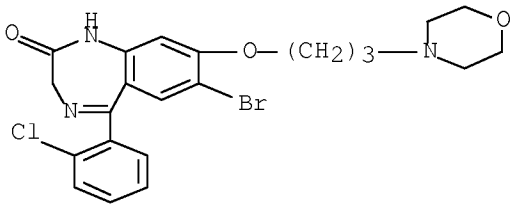
RN 882531-55-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-chlorophenyl)-7-fluoro-1,3-dihydro-8-[3-(4-morpholinyl)propoxy]- (CA INDEX NAME)



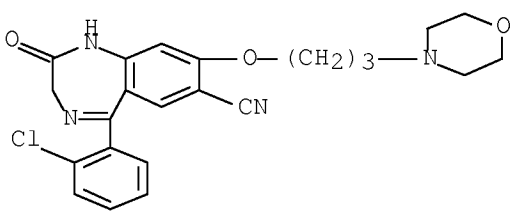
RN 882531-56-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-chlorophenyl)-1,3-dihydro-8-methoxy-7-methyl- (CA INDEX NAME)



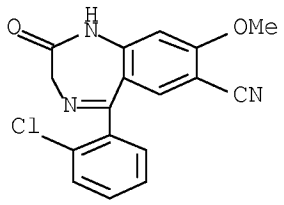
RN 882531-59-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-[3-(4-morpholinyl)propoxy]- (CA INDEX NAME)



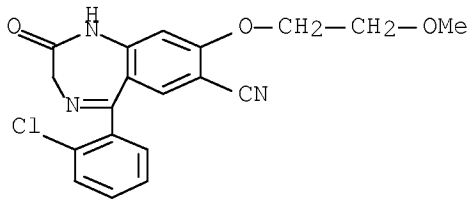
RN 882531-60-4 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[3-(4-morpholinyl)propoxy]-2-oxo- (CA INDEX NAME)



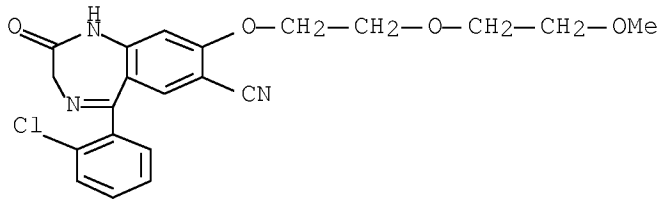
RN 882531-61-5 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-methoxy-2-oxo- (CA INDEX NAME)



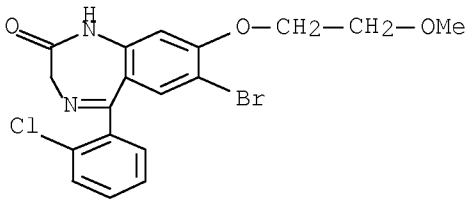
RN 882531-62-6 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-(2-methoxyethoxy)-2-oxo- (CA INDEX NAME)



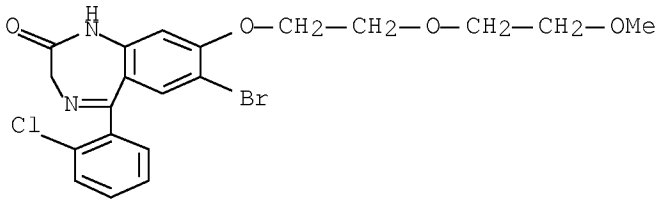
RN 882531-63-7 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[2-(2-methoxyethoxy)ethoxy]-2-oxo- (CA INDEX NAME)



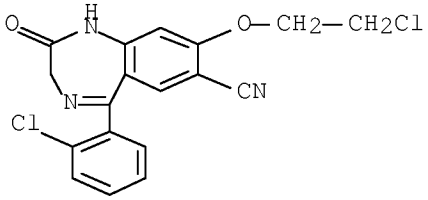
RN 882531-64-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-(2-methoxyethoxy)- (CA INDEX NAME)



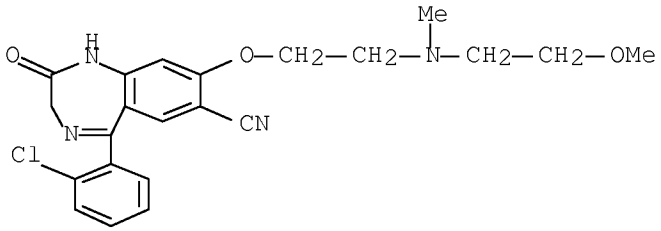
RN 882531-65-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-[2-(2-methoxyethoxy)ethoxy]- (CA INDEX NAME)



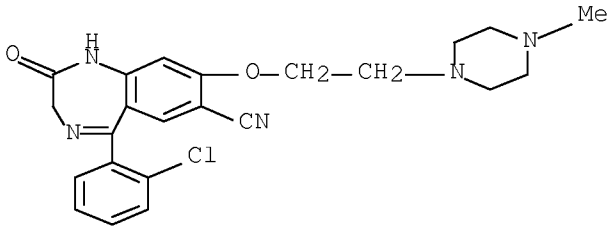
RN 882531-66-0 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 8-(2-chloroethoxy)-5-(2-chlorophenyl)-2,3-dihydro-2-oxo- (CA INDEX NAME)



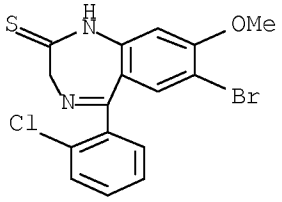
RN 882531-67-1 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[2-[(2-methoxyethyl)methylamino]ethoxy]-2-oxo- (CA INDEX NAME)



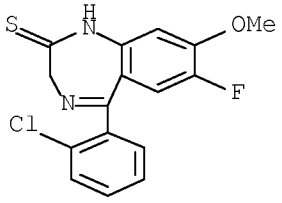
RN 882531-68-2 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile,
5-(2-chlorophenyl)-2,3-dihydro-8-[2-(4-methyl-1-piperazinyl)ethoxy]-2-oxo-
(CA INDEX NAME)



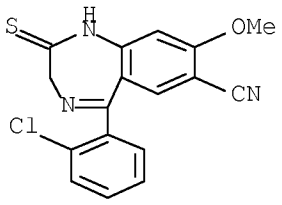
RN 882531-69-3 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-
methoxy- (CA INDEX NAME)



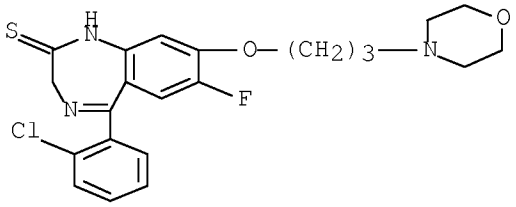
RN 882531-70-6 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 5-(2-chlorophenyl)-7-fluoro-1,3-dihydro-8-
methoxy- (CA INDEX NAME)



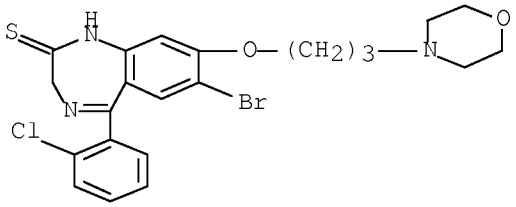
RN 882531-71-7 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile,
5-(2-chlorophenyl)-2,3-dihydro-8-methoxy-2-thioxo- (CA INDEX NAME)



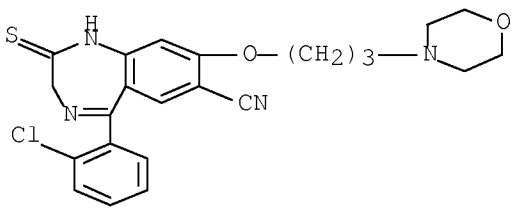
RN 882531-72-8 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 5-(2-chlorophenyl)-7-fluoro-1,3-dihydro-8-[3-(4-morpholinyl)propoxy]- (CA INDEX NAME)



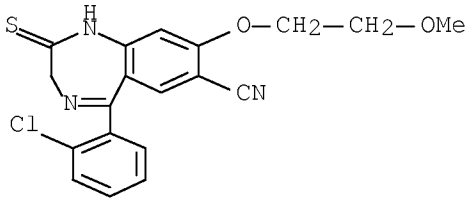
RN 882531-73-9 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-[3-(4-morpholinyl)propoxy]- (CA INDEX NAME)



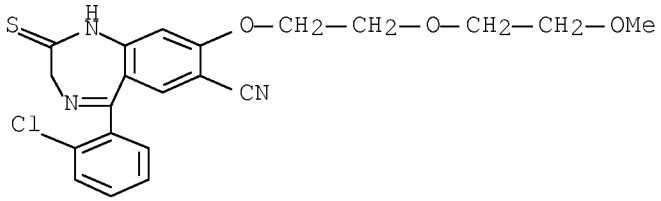
RN 882531-74-0 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[3-(4-morpholinyl)propoxy]-2-thioxo- (CA INDEX NAME)



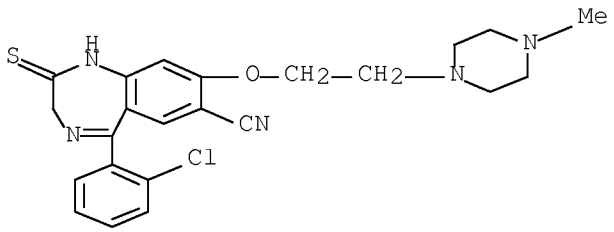
RN 882531-76-2 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-(2-methoxyethoxy)-2-thioxo- (CA INDEX NAME)



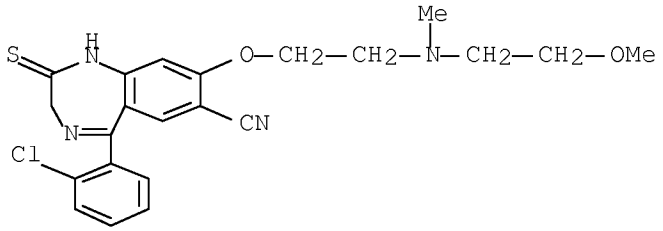
RN 882531-77-3 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[2-(2-methoxyethoxy)ethoxy]-2-thioxo- (CA INDEX NAME)



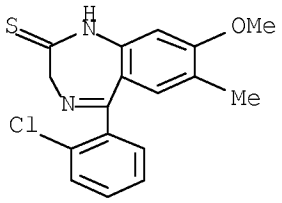
RN 882531-78-4 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[2-(4-methyl-1-piperazinyl)ethoxy]-2-thioxo- (CA INDEX NAME)



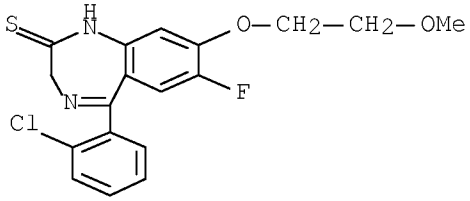
RN 882531-79-5 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-[2-[(2-methoxyethyl)methylamino]ethoxy]-2-thioxo- (CA INDEX NAME)



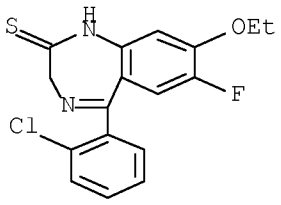
RN 882531-81-9 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 5-(2-chlorophenyl)-1,3-dihydro-8-methoxy-7-methyl- (CA INDEX NAME)



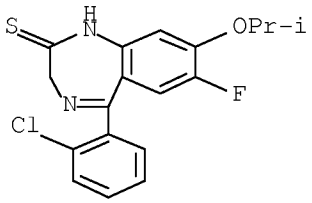
RN 882531-83-1 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 5-(2-chlorophenyl)-7-fluoro-1,3-dihydro-8-(2-methoxyethoxy)- (CA INDEX NAME)



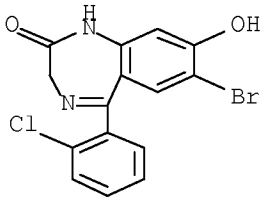
RN 882531-84-2 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 5-(2-chlorophenyl)-8-ethoxy-7-fluoro-1,3-dihydro- (CA INDEX NAME)



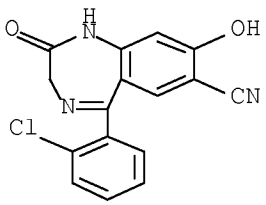
RN 882531-85-3 CAPLUS
CN 2H-1,4-Benzodiazepine-2-thione, 5-(2-chlorophenyl)-7-fluoro-1,3-dihydro-8-(1-methylethoxy)- (CA INDEX NAME)



RN 882532-22-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-8-hydroxy- (CA INDEX NAME)



RN 882532-23-2 CAPLUS
CN 1H-1,4-Benzodiazepine-7-carbonitrile, 5-(2-chlorophenyl)-2,3-dihydro-8-hydroxy-2-oxo- (CA INDEX NAME)



L11 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:568974 CAPLUS Full-text
DN 143:78216
TI Preparation of benzo[1,4]diazepin-2-one derivatives as phosphodiesterase PDE2 inhibitors
IN Abarghaz, Mustafa; Biondi, Stefano; Duranton, Jerome; Limanton, Emmanuelle; Mondadori, Cesare; Wagner, Patrick
PA Neuro3d, Fr.
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1548011	A1	20050629	EP 2003-293309	20031223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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	CA 2548318	A1	20050714	CA 2004-2548318	20041223
	WO 2005063723	A1	20050714	WO 2004-IB4362	20041223
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	CN 1898217	A	20070117	CN 2004-80038085	20041223
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	JP 2007516276	T	20070621	JP 2006-546406	20041223
	IN 2006DN02955	A	20070810	IN 2006-DN2955	20060523
	US 20070123519	A1	20070531	US 2006-582131	20060608
	US 7410963	B2	20080812		
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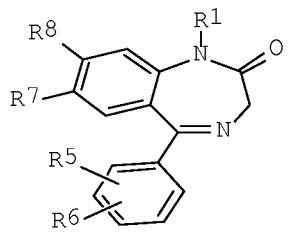
	WO 2004-IB4362	W	20041223		

OS CASREACT 143:78216; MARPAT 143:78216
GI



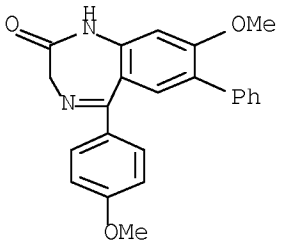
I

AB Title compds. I [R1 = H, alkyl, aryl, etc.; R7 = (hetero)aryl; R8 = H, alkoxy, etc.; R5 = H, halo, alkyl, etc.; R6 = H, halo, Me, etc.] are prepared For instance, 3-(8-Methoxy-1-methyl-2-oxo-7-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)benzonitrile (II) is prepared from 3-(7-bromo-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5- yl)benzonitrile (preparation given) and benzeneboronic acid. II has IC50 = 0.57 for PDE2. Selected compds. exhibit selectivity for binding to PDE2 vs. PDE3 and PDE4. I are useful for treating various diseases of the central or peripheral nervous system.

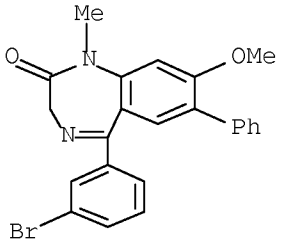
IT 855168-38-6P, 5-(4-Methoxyphenyl)-8-methoxy-7-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one 855168-64-8P, 5-(3-Bromophenyl)-8-methoxy-1-methyl-7-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one 855168-67-1P, 3-(8-Methoxy-1-methyl-2-oxo-7-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)benzonitrile 855168-70-6P, 3-[7-(4-Fluorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855168-73-9P, 3-[8-Methoxy-7-(2-methoxyphenyl)-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855168-77-3P, 3-[8-Methoxy-7-(4-methoxyphenyl)-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855168-81-9P, 3-[7-(2-Chlorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855168-88-6P, 3-[7-(3-Chlorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855168-92-2P, 3-[7-(4-Chlorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855169-08-3P, 3-[8-Methoxy-7-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855169-56-1P, 3-[8-Methoxy-1-methyl-2-oxo-7-(4-cyanophenyl)-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855169-59-4P, 3-[7-(4-Acetylphenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855170-10-4P, 3-[7-(2-Isopropoxyphenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855170-25-1P, 3-(1-Methyl-2-oxo-8-phenoxy-7-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)benzonitrile 855170-30-8P, 3-[7-(2-Methoxyphenyl)-1-methyl-2-oxo-8-phenoxy-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855170-32-0P, 3-[7-(2-Chlorophenyl)-1-methyl-2-oxo-8-phenoxy-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855171-17-4P, 3-[1-Benzyl-8-methoxy-7-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855171-25-4P, 3-[8-Methoxy-7-(2-methoxyphenyl)-2-oxo-1-propyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855171-32-3P, 3-[8-Methoxy-7-(2-methoxyphenyl)-2-oxo-1-phenethyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile 855171-40-3P, 3-[1-Hexyl-8-methoxy-7-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzonitrile
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzo[1,4]diazepin-2-one derivs. as (selective) phosphodiesterase PDE2 inhibitors)

RN 855168-38-6 CAPLUS

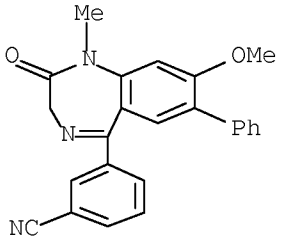
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-8-methoxy-5-(4-methoxyphenyl)-7-phenyl- (CA INDEX NAME)



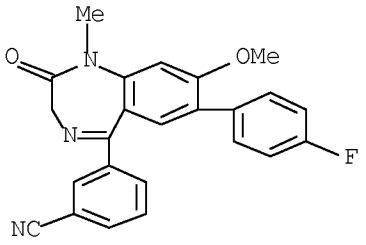
RN 855168-64-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-8-methoxy-1-methyl-7-phenyl- (CA INDEX NAME)



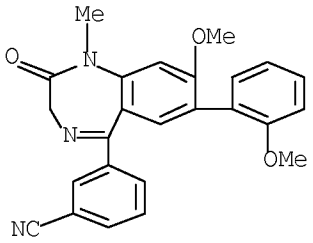
RN 855168-67-1 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-8-methoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



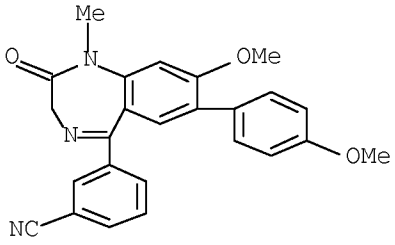
RN 855168-70-6 CAPLUS
CN Benzonitrile, 3-[7-(4-fluorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



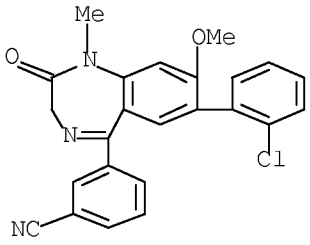
RN 855168-73-9 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



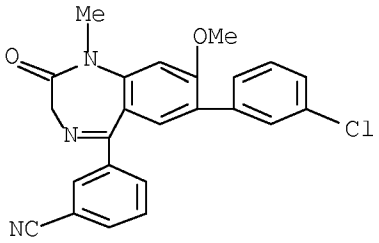
RN 855168-77-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-7-(4-methoxyphenyl)-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



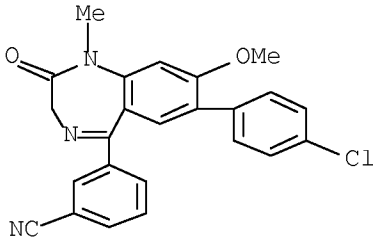
RN 855168-81-9 CAPLUS
CN Benzonitrile, 3-[7-(2-chlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



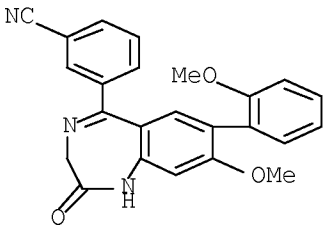
RN 855168-88-6 CAPLUS
CN Benzonitrile, 3-[7-(3-chlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



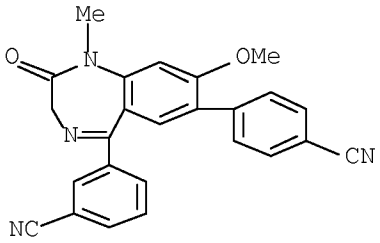
RN 855168-92-2 CAPLUS
CN Benzonitrile, 3-[7-(4-chlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



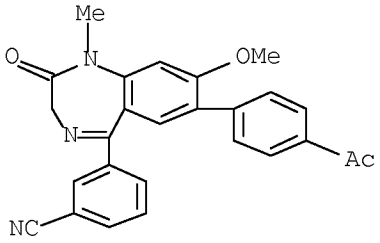
RN 855169-08-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



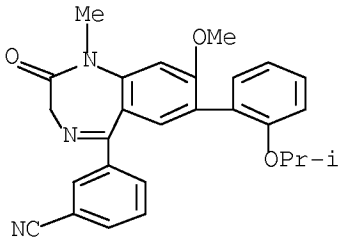
RN 855169-56-1 CAPLUS
CN Benzonitrile, 3-[7-(4-cyanophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



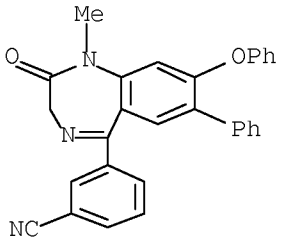
RN 855169-59-4 CAPLUS
CN Benzonitrile, 3-[7-(4-acetylphenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



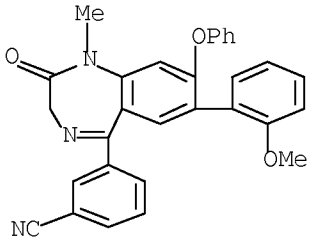
RN 855170-10-4 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-1-methyl-7-[2-(1-methylethoxy)phenyl]-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



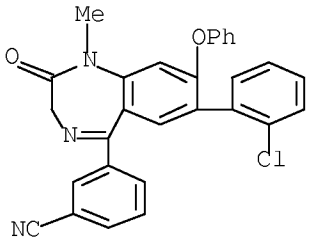
RN 855170-25-1 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-1-methyl-2-oxo-8-phenoxy-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



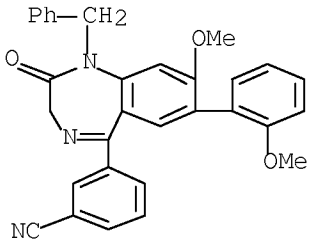
RN 855170-30-8 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7-(2-methoxyphenyl)-1-methyl-2-oxo-8-phenoxy-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



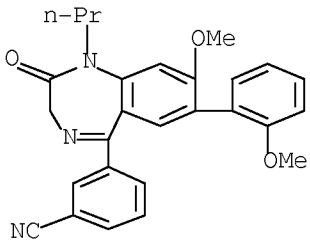
RN 855170-32-0 CAPLUS
CN Benzonitrile, 3-[7-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-8-phenoxy-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



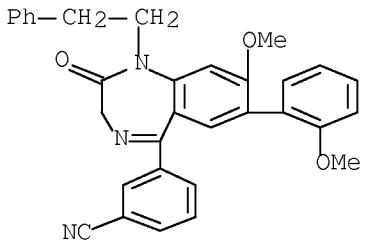
RN 855171-17-4 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



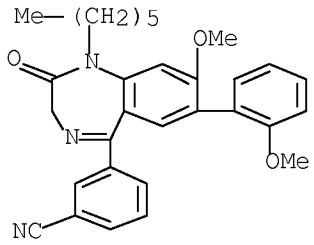
RN 855171-25-4 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1-propyl-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



RN 855171-32-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1-(2-phenylethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



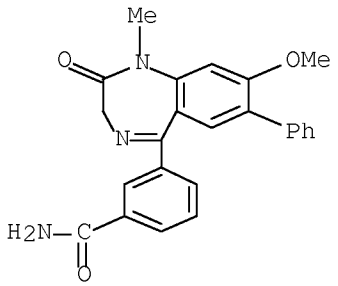
RN 855171-40-3 CAPLUS
CN Benzonitrile, 3-[1-hexyl-2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



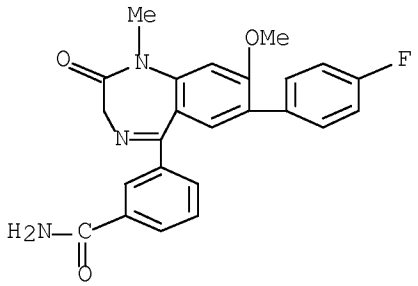
IT 855170-53-5P, 3-(8-Methoxy-1-methyl-2-oxo-7-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)benzamide 855170-56-8P, 3-(7-(4-Fluorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)benzamide 855170-59-1P, 3-[8-Methoxy-7-(2-methoxyphenyl)-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855170-62-6P, 3-[8-Methoxy-7-(4-methoxyphenyl)-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855170-65-9P, 3-[7-(2-Chlorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855170-68-2P, 3-[7-(3-Chlorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855170-72-8P, 3-[7-(4-Chlorophenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-05-0P, 3-[8-Methoxy-7-(4-benzamido)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-09-4P, 3-[8-Methoxy-7-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-13-0P, 3-[1-Benzyl-8-methoxy-7-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-21-0P, 3-[8-Methoxy-7-(2-methoxyphenyl)-2-oxo-1-propyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-29-8P, 3-[8-Methoxy-7-(2-methoxyphenyl)-2-oxo-1-phenethyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-36-7P, 3-[1-Hexyl-8-methoxy-7-(2-methoxyphenyl)-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-44-7P, 3-[7-(4-Acetylphenyl)-8-methoxy-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-52-7P, 3-(1-Methyl-2-oxo-8-phenoxy-7-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)benzamide 855171-56-1P,

3-[7-(2-Chlorophenyl)-1-methyl-2-oxo-8-phenoxy-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-60-7P, 3-[7-(2-Methoxyphenyl)-1-methyl-2-oxo-8-phenoxy-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide 855171-64-1P 855171-76-5P, 5-(3-Hexan-1-ynylphenyl)-8-methoxy-1-methyl-7-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one 855171-79-8P, [3-[3-(8-Methoxy-1-methyl-2-oxo-7-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl)phenyl]prop-2-ynyl]carbamic acid tert-butyl ester 855171-83-4P, 3-[8-Methoxy-7-(4-benzamido)-1-methyl-2-oxo-2,3-dihydro-1H-benzo[e][1,4]diazepin-5-yl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo[1,4]diazepin-2-one derivs. as (selective) phosphodiesterase PDE2 inhibitors)

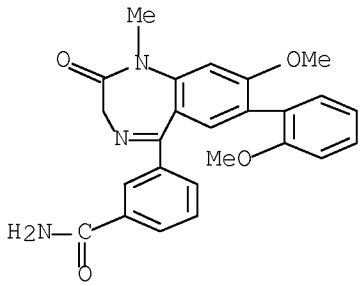
RN 855170-53-5 CAPLUS
CN Benzamide, 3-(2,3-dihydro-8-methoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



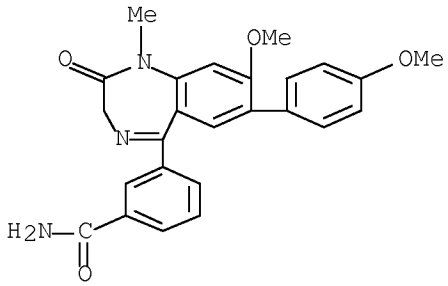
RN 855170-56-8 CAPLUS
CN Benzamide, 3-[7-(4-fluorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



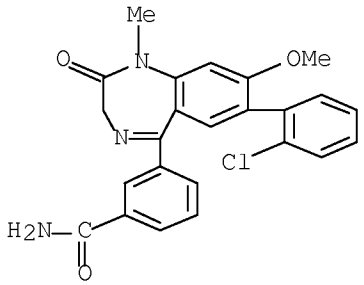
RN 855170-59-1 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



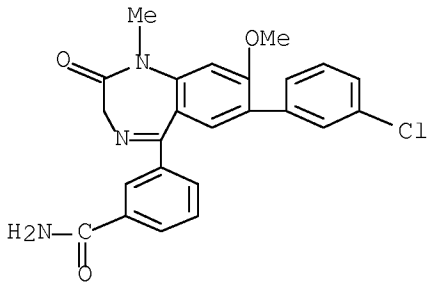
RN 855170-62-6 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-7-(4-methoxyphenyl)-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



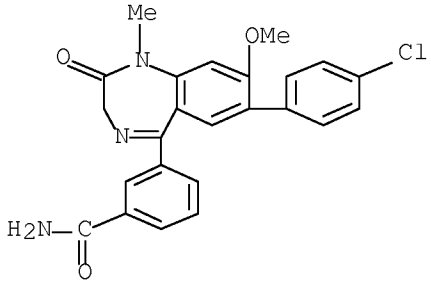
RN 855170-65-9 CAPLUS
CN Benzamide, 3-[7-(2-chlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



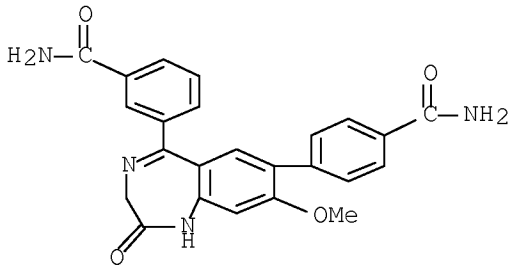
RN 855170-68-2 CAPLUS
CN Benzamide, 3-[7-(3-chlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



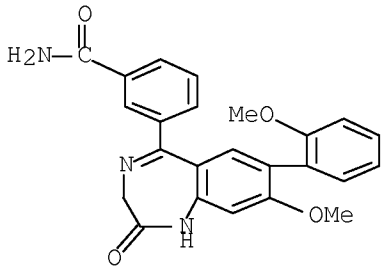
RN 855170-72-8 CAPLUS
CN Benzamide, 3-[7-(4-chlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



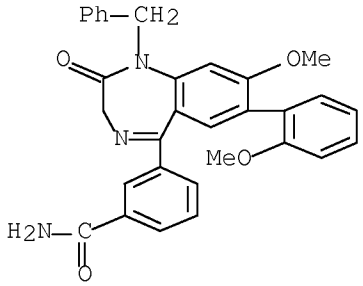
RN 855171-05-0 CAPLUS
CN Benzamide, 3-[7-[4-(aminocarbonyl)phenyl]-2,3-dihydro-8-methoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



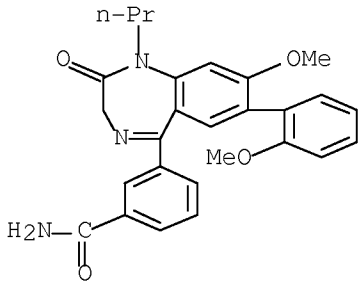
RN 855171-09-4 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



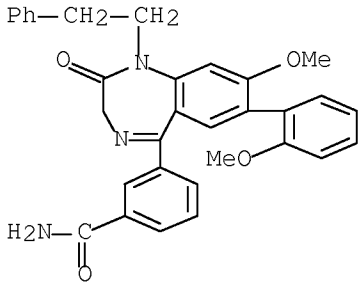
RN 855171-13-0 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



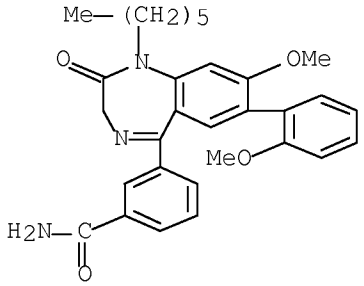
RN 855171-21-0 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1-propyl-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



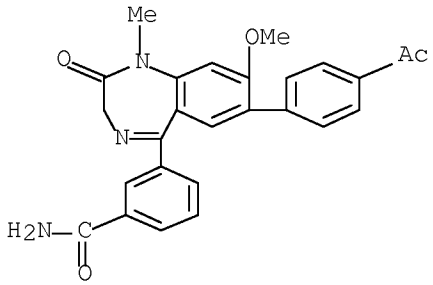
RN 855171-29-8 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1-(2-phenylethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



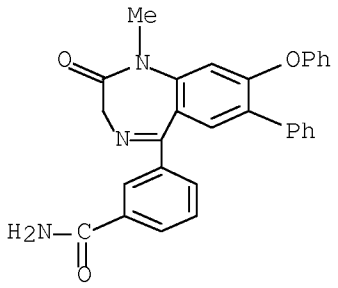
RN 855171-36-7 CAPLUS
CN Benzamide, 3-[1-hexyl-2,3-dihydro-8-methoxy-7-(2-methoxyphenyl)-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



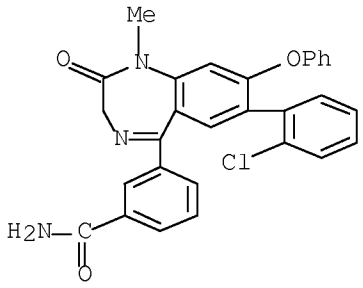
RN 855171-44-7 CAPLUS
CN Benzamide, 3-[7-(4-acetylphenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



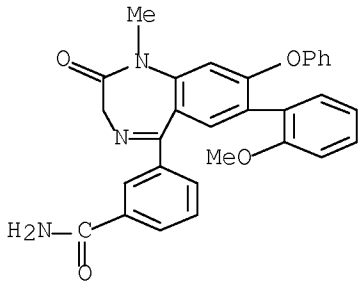
RN 855171-52-7 CAPLUS
CN Benzamide, 3-(2,3-dihydro-1-methyl-2-oxo-8-phenoxy-7-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



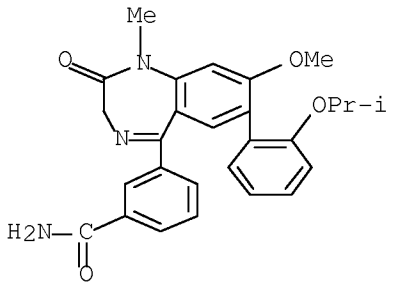
RN 855171-56-1 CAPLUS
CN Benzamide, 3-[7-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-8-phenoxy-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



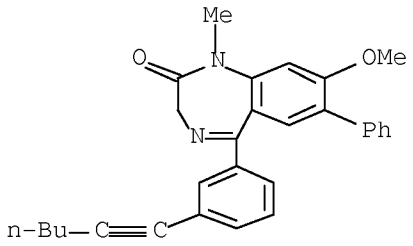
RN 855171-60-7 CAPLUS
CN Benzamide, 3-[2,3-dihydro-7-(2-methoxyphenyl)-1-methyl-2-oxo-8-phenoxy-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



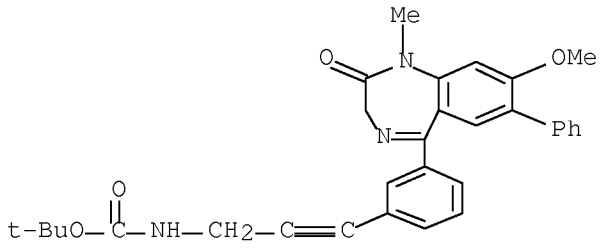
RN 855171-64-1 CAPLUS
CN Benzamide, 3-[2,3-dihydro-8-methoxy-1-methyl-7-[2-(1-methylethoxy)phenyl]-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



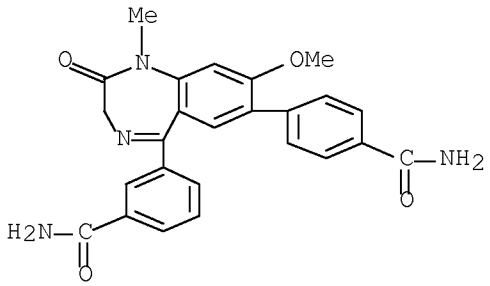
RN 855171-76-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(1-hexyn-1-yl)phenyl]-1,3-dihydro-8-methoxy-1-methyl-7-phenyl- (CA INDEX NAME)



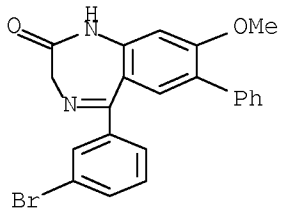
RN 855171-79-8 CAPLUS
CN Carbamic acid, [3-[3-(2,3-dihydro-8-methoxy-1-methyl-2-oxo-7-phenyl-1H-1,4-benzodiazepin-5-yl)phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 855171-83-4 CAPLUS
CN Benzamide, 3-[7-[4-(aminocarbonyl)phenyl]-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



IT 855168-44-4P, 5-(3-Bromophenyl)-8-methoxy-7-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzo[1,4]diazepin-2-one derivs. as (selective) phosphodiesterase PDE2 inhibitors)
RN 855168-44-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-8-methoxy-7-phenyl- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:414716 CAPLUS Full-text
DN 140:423714
TI Preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase, in particular PDE2 inhibitors, for treating central and peripheral nervous system diseases
IN Bourguignon, Jean-Jacques; Lugnier, Claire; Abarghaz, Mustapha; Lagouge, Yan; Wagner, Patrick; Mondadori, Cesare; Macher, Jean-Paul; Schultz, Dominique; Raboisson, Pierre
PA Neuro3d, Fr.; Universite Louis Pasteur; Centre National De La Recherche Scientifique; Forenap; et al.
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041258	A2	20040521	WO 2003-FR3247	20031030
	WO 2004041258	A3	20040923		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	FR 2846653	A1	20040507	FR 2002-13607	20021030
	FR 2846653	B1	20070420		
	CA 2503716	A1	20040521	CA 2003-2503716	20031030
	AU 2003288352	A1	20040607	AU 2003-288352	20031030
	EP 1556055	A2	20050727	EP 2003-780257	20031030
	EP 1556055	B1	20081029		
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	US 2003-455874P	P	20030320		
	WO 2003-FR3247	W	20031030		

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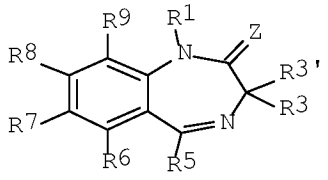

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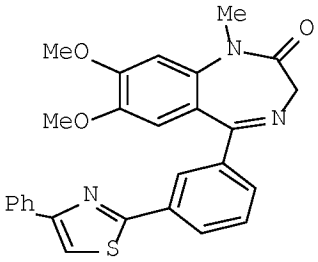

II

AB Title compds. I [wherein Z = O, S, NR2; R1 = H, aryl/alkyl, alkyl/aryl; R2 = H, aryl/alkyl, alkyl/aryl; R3, R3' = independently H, aryl/cyclo/alkyl, alkyl/aryl; heterocyclyl, NO2, CF3, CN, NH2 and derivs., SH and derivs., OH and derivs.; CO2H and derivs., CONH2 and derivs., etc.; R5 = naphthyl, heterocyclyl selected from pyridinyl, isoquinolinyl, quinolinyl, piperazinyl, (un)substituted Ph with provisos; R7, R8 = independently H, halo, OH and derivs. with at least one of R7 and R8 = OH and derivs.; R6, R9 = independently H, halo, OH and derivs., (un)substituted ar/heterocyclo/cyclo/alkyl, alkenyl, alkynyl, aryl, heterocyclyl; and their salts with certain compds. excluded] were prepared as cyclic nucleotide phosphodiesterase PDE2 inhibitors for treating central and peripheral nervous system disorders. Thus, benzodiazepinone II was prepared, in 90% yield, by cyclization of 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5- yl)thiobenzamide (preparation given) with bromoacetophenone in EtOH. I inhibited the in vitro activity of bovine smooth muscle PDE2 by 91.4% at 10 μM.

IT 477742-38-4P 685102-70-9P 685102-72-1P
685102-73-2P 685102-74-3P 685102-75-4P
685102-76-5P 685102-77-6P 685102-78-7P
685102-79-8P 685102-82-3P 685102-83-4P
685102-84-5P 685102-85-6P 685102-86-7P
685102-87-8P 685102-90-3P 685102-95-8P
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685103-06-4P 685103-07-5P 685103-10-0P
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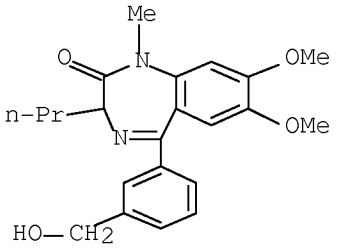
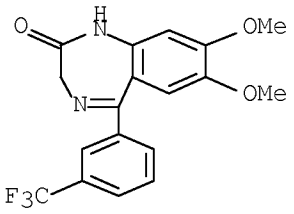
685103-19-9P 685103-20-2P 685103-22-4P
685103-23-5P 685103-25-7P 685103-33-7P
685103-34-8P 685103-35-9P 685103-36-0P
685103-37-1P 685103-39-3P 685103-40-6P
685103-41-7P 685103-43-9P 685103-44-0P
685103-65-5P 685103-67-7P 685103-68-8P
685103-69-9P 685103-70-2P 685103-90-6P
685103-93-9P 685103-94-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(PDE2 inhibitor; preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase PDE2 inhibitors for treating nervous system disorders)

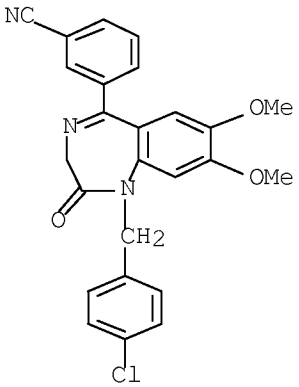
RN 477742-38-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-[3-(hydroxymethyl)phenyl]-7,8-dimethoxy-1-methyl-3-propyl- (CA INDEX NAME)



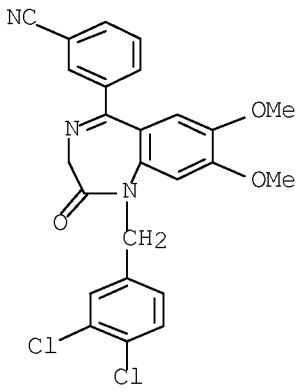
RN 685102-70-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



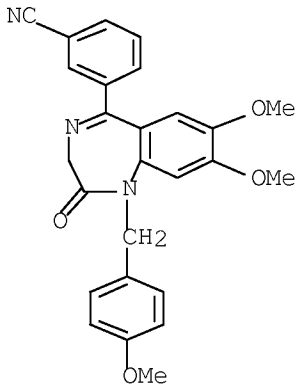
RN 685102-72-1 CAPLUS
CN Benzonitrile, 3-[1-[(4-chlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



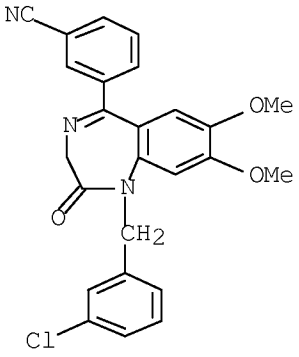
RN 685102-73-2 CAPLUS
CN Benzonitrile, 3-[1-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



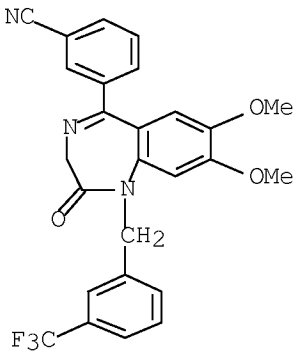
RN 685102-74-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-1-[(4-methoxyphenyl)methyl]-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



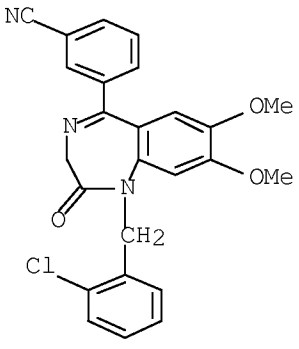
RN 685102-75-4 CAPLUS
CN Benzonitrile, 3-[1-[(3-chlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



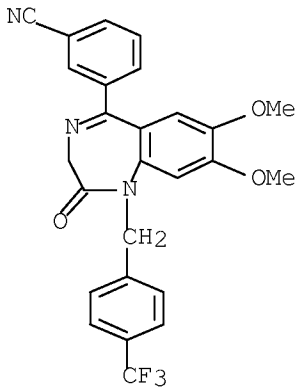
RN 685102-76-5 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-[[3-(trifluoromethyl)phenyl]methyl]-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



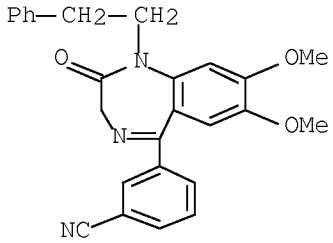
RN 685102-77-6 CAPLUS
CN Benzonitrile, 3-[1-[(2-chlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



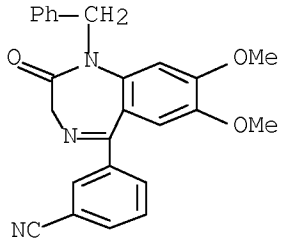
RN 685102-78-7 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



RN 685102-79-8 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-(2-phenylethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)

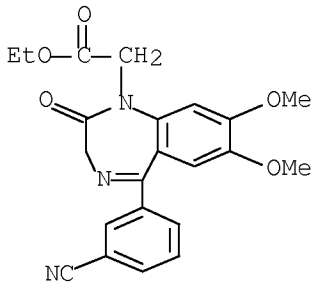


RN 685102-82-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)

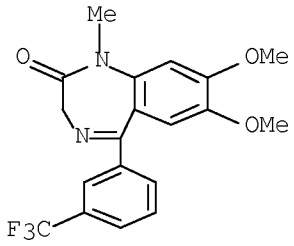


RN 685102-83-4 CAPLUS

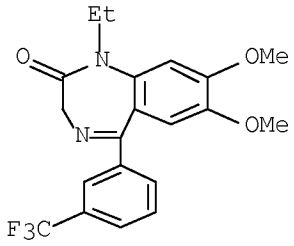
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-2-oxo-, ethyl ester (CA INDEX NAME)



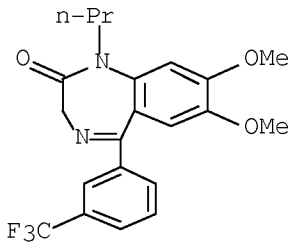
RN 685102-84-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



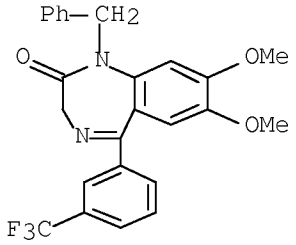
RN 685102-85-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



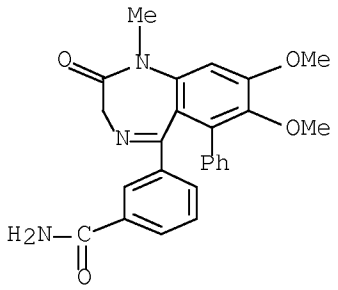
RN 685102-86-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-propyl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



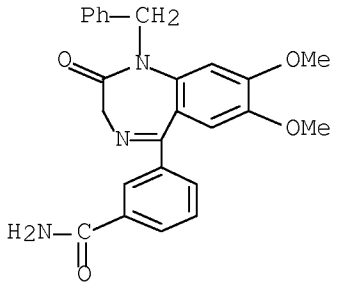
RN 685102-87-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-(phenylmethyl)-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



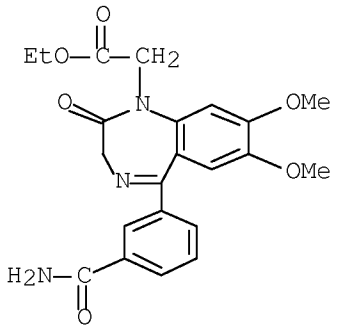
RN 685102-90-3 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-6-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



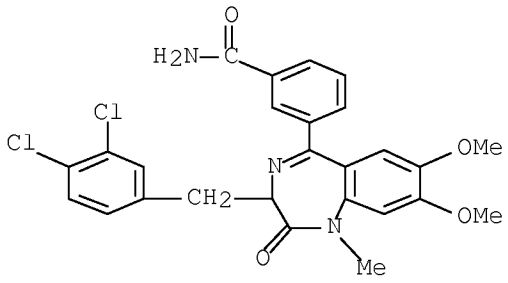
RN 685102-95-8 CAPLUS
CN Benzamide, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



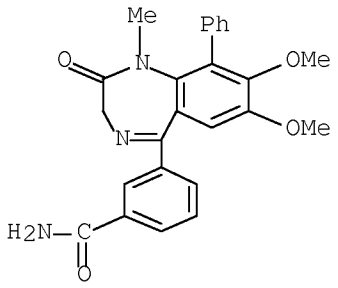
RN 685102-96-9 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-[3-(aminocarbonyl)phenyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-, ethyl ester (CA INDEX NAME)



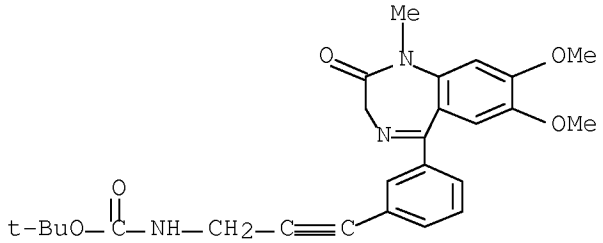
RN 685102-98-1 CAPLUS
CN Benzamide, 3-[3-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



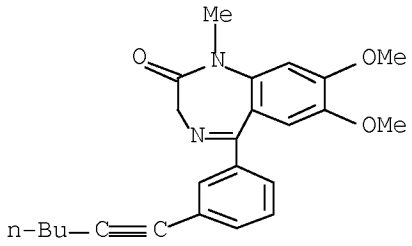
RN 685103-00-8 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-9-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



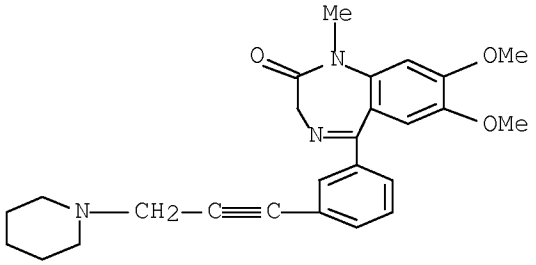
RN 685103-03-1 CAPLUS
CN Carbamic acid, [3-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



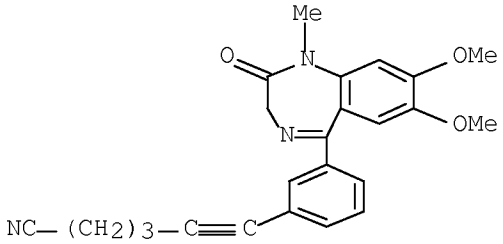
RN 685103-04-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(1-hexyn-1-yl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



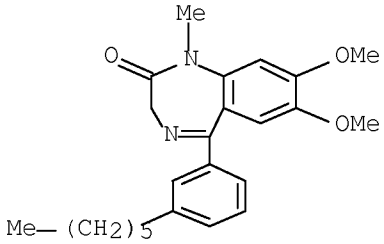
RN 685103-05-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-[3-(1-piperidinyl)-1-propyn-1-yl]phenyl]- (CA INDEX NAME)



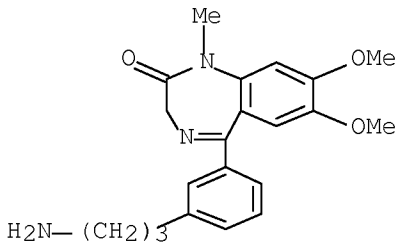
RN 685103-06-4 CAPLUS
CN 5-Hexynenitrile, 6-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]- (CA INDEX NAME)



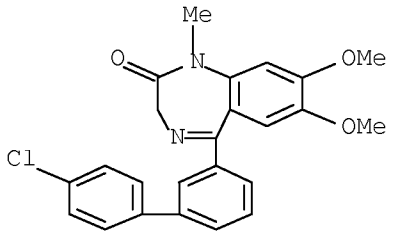
RN 685103-07-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-hexylphenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



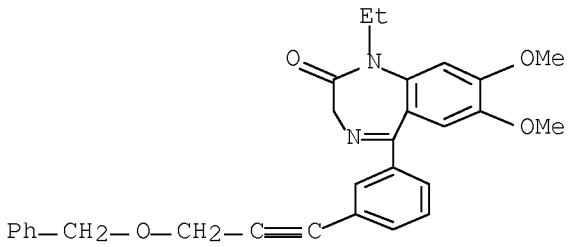
RN 685103-10-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(3-aminopropyl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



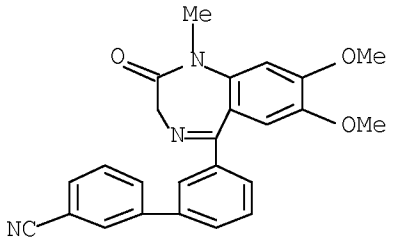
RN 685103-12-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4'-chloro[1,1'-biphenyl]-3-yl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



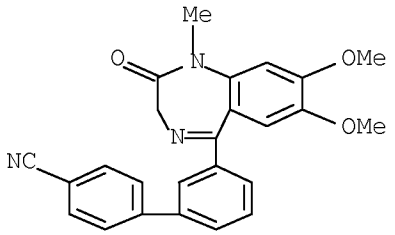
RN 685103-13-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[3-[3-(phenylmethoxy)-1-propyn-1-yl]phenyl]- (CA INDEX NAME)



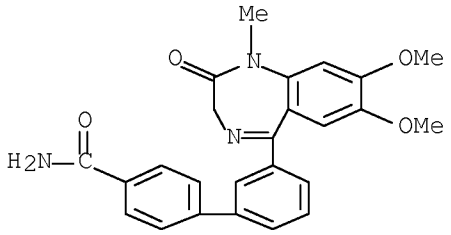
RN 685103-14-4 CAPLUS
CN [1,1'-Biphenyl]-3-carbonitrile, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



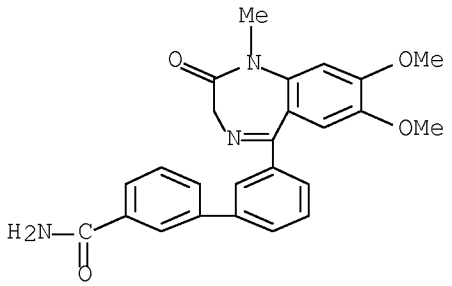
RN 685103-15-5 CAPLUS
CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



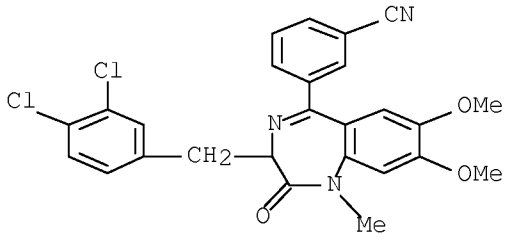
RN 685103-16-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



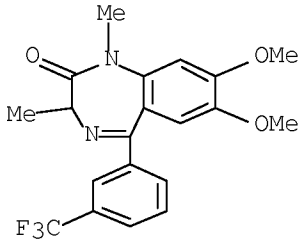
RN 685103-17-7 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



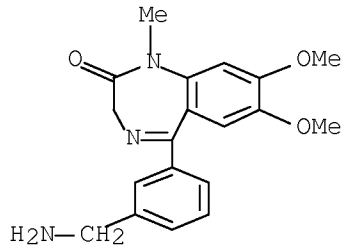
RN 685103-19-9 CAPLUS
CN Benzonitrile, 3-[3-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



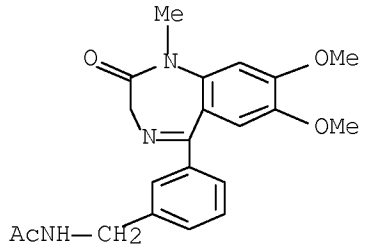
RN 685103-20-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1,3-dimethyl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



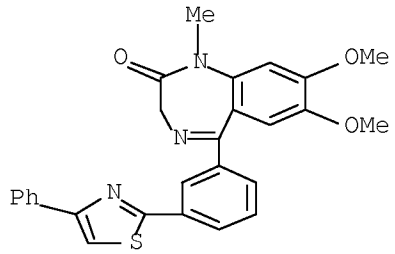
RN 685103-22-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(aminomethyl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



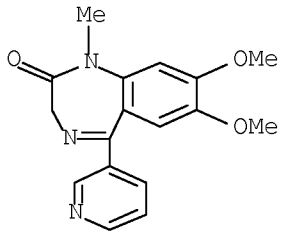
RN 685103-23-5 CAPLUS
CN Acetamide, N-[[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]methyl]- (CA INDEX NAME)



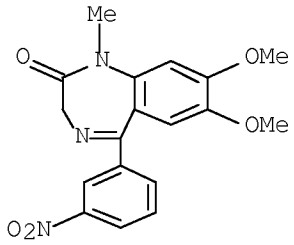
RN 685103-25-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-(4-phenyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



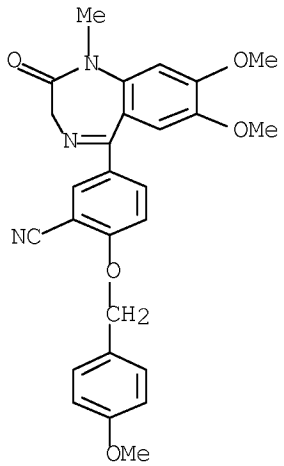
RN 685103-33-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(3-pyridinyl)- (CA INDEX NAME)



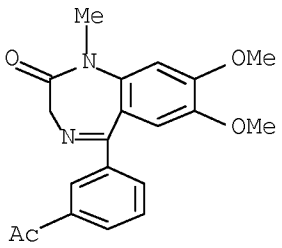
RN 685103-34-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(3-nitrophenyl)- (CA INDEX NAME)



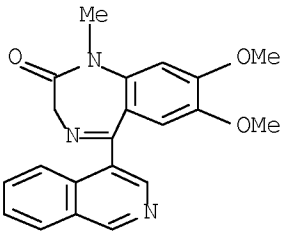
RN 685103-35-9 CAPLUS
CN Benzonitrile, 5-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)-2-[(4-methoxyphenyl)methoxy]- (CA INDEX NAME)



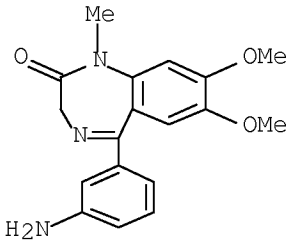
RN 685103-36-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-acetylphenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



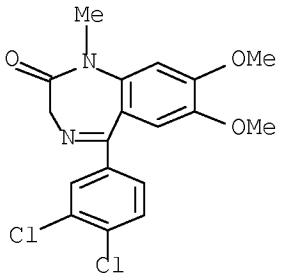
RN 685103-37-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-(4-isoquinolinyl)-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



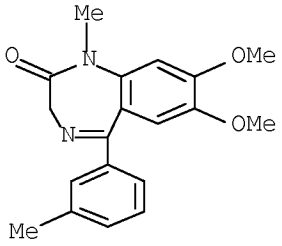
RN 685103-39-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-aminophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



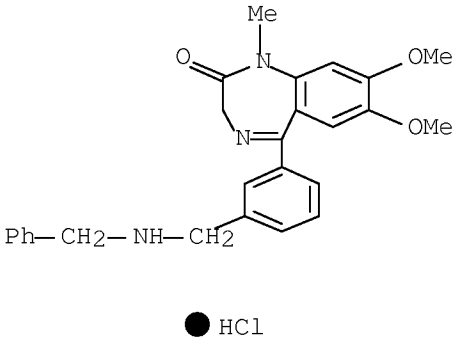
RN 685103-40-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3,4-dichlorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



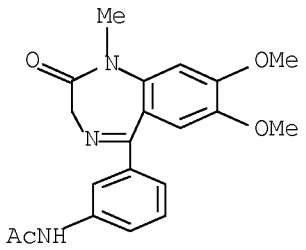
RN 685103-41-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(3-methylphenyl)- (CA INDEX NAME)



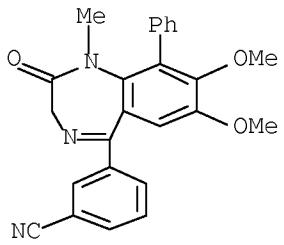
RN 685103-43-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-[[(phenylmethyl)amino]methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 685103-44-0 CAPLUS
CN Acetamide, N-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]- (CA INDEX NAME)

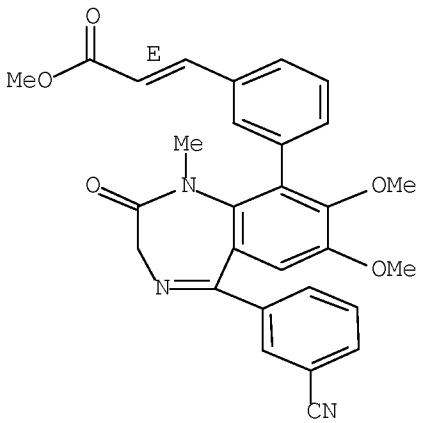


RN 685103-65-5 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-9-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)

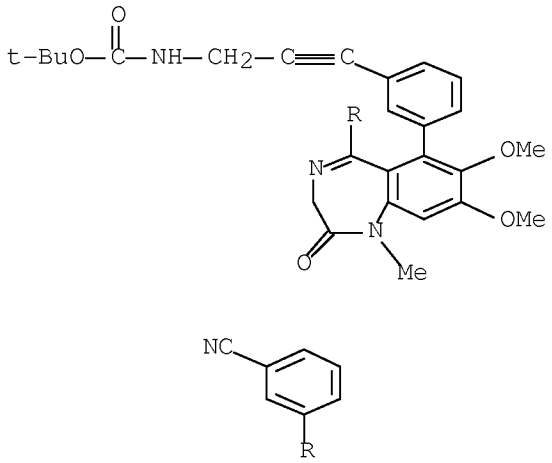


RN 685103-67-7 CAPLUS
CN 2-Propenoic acid, 3-[3-[5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-9-yl]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

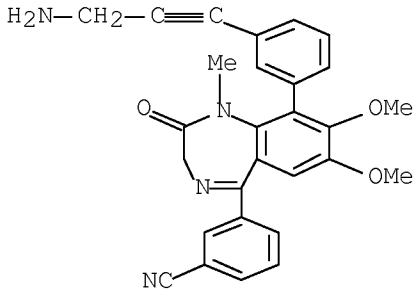
Double bond geometry as shown.



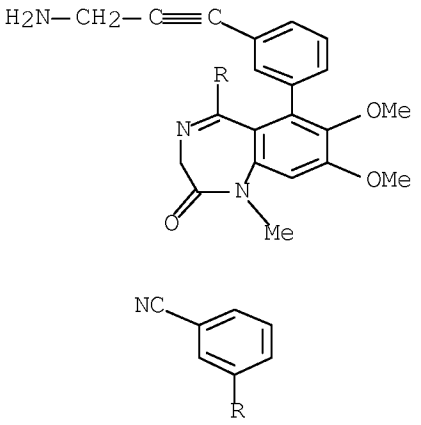
RN 685103-68-8 CAPLUS
CN Carbamic acid, [3-[3-[5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-6-yl]phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



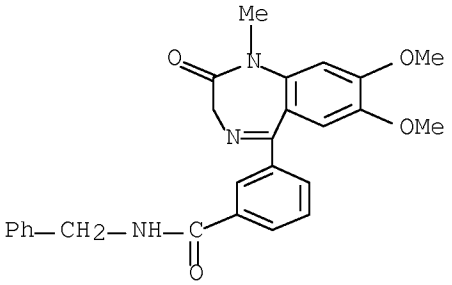
RN 685103-69-9 CAPLUS
CN Benzonitrile, 3-[9-[3-(3-amino-1-propyn-1-yl)phenyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



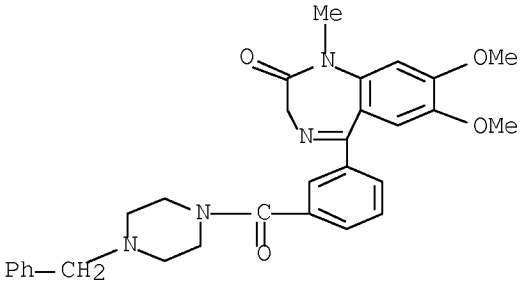
RN 685103-70-2 CAPLUS
CN Benzonitrile, 3-[6-[3-(3-amino-1-propyn-1-yl)phenyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



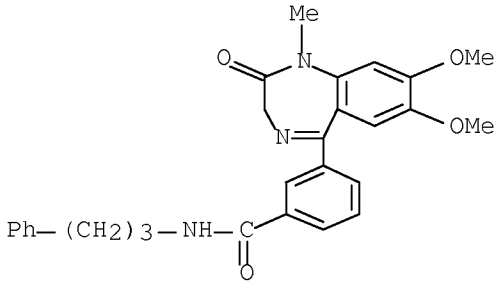
RN 685103-90-6 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)-N-(phenylmethyl)- (CA INDEX NAME)



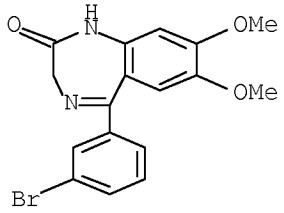
RN 685103-93-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]phenyl]- (CA INDEX NAME)



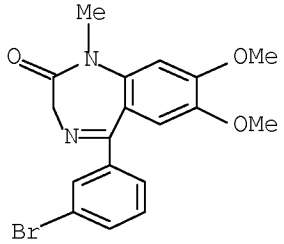
RN 685103-94-0 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)-N-(3-phenylpropyl)- (CA INDEX NAME)



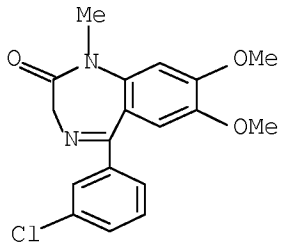
IT 477742-67-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE2 inhibitor; preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase PDE2 inhibitors for treating nervous system disorders)
RN 477742-67-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



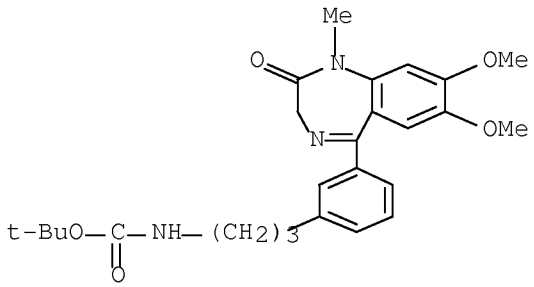
IT 477742-42-0P 477743-52-5P 685103-08-6P
685103-38-2P 685103-64-4P 685103-66-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nervous system agent; preparation of benzodiazepinones as cyclic nucleotide
phosphodiesterase PDE2 inhibitors for treating nervous system disorders)
RN 477742-42-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



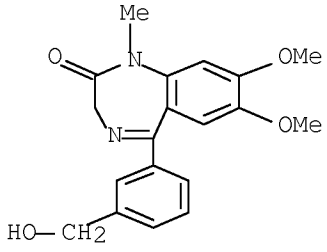
RN 477743-52-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-chlorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



RN 685103-08-6 CAPLUS
CN Carbamic acid, [3-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

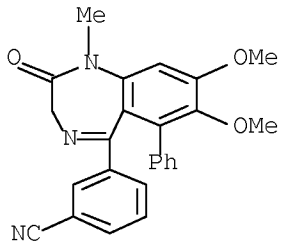


RN 685103-38-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-[3-(hydroxymethyl)phenyl]-7,8-dimethoxy-1-methyl- (CA INDEX NAME)

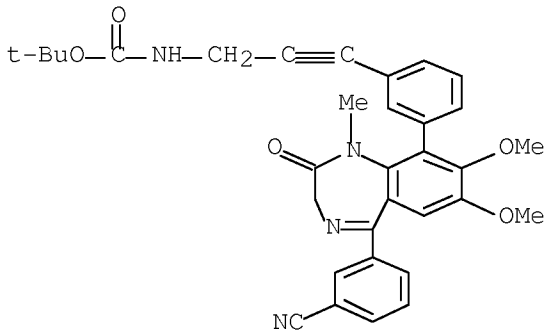


RN 685103-64-4 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-6-phenyl-1H-1,4-

benzodiazepin-5-yl)- (CA INDEX NAME)



RN 685103-66-6 CAPLUS
CN Carbamic acid, [3-[3-[5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-9-yl]phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:370793 CAPLUS Full-text
DN 140:370818
TI Benzodiazepinone inhibitors of cyclic nucleotide phosphodiesterase PDE2 for use in treatment of nervous system disorders
IN Bourguignon, Jean Jacques; Lugnier, Claire; Abarghaz, Mustapha; Lagouge, Yan; Wagner, Patrick; Mondadori, Cesare; Macher, Jean Paul; Schultz, Dominique; Raboisson, Pierre
PA Neuro3d, Fr.
SO Fr. Demande, 126 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2846653	A1	20040507	FR 2002-13607	20021030
	FR 2846653	B1	20070420		
	CA 2503716	A1	20040521	CA 2003-2503716	20031030
	WO 2004041258	A2	20040521	WO 2003-FR3247	20031030
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	ZA 2005005412	A	20060426	ZA 2005-5412	20050527
	US 20060128695	A1	20060615	US 2005-533157	20051207
PRAI	FR 2002-13607	A	20021030		
	US 2003-455874P	P	20030320		
	WO 2003-FR3247	W	20031030		

OS MARPAT 140:370818
AB The invention relates to benzodiazepinone inhibitors of PDE2 and their use in treatment of disorders of the central and peripheral nervous system. Thus, 7,8-dimethyl-1-Me 5-[3-(4-phenyl-1,3-thiazol-2-yl)phenyl]-1,3- dihydro-2H-1,4-benzodiazepin-2-one was synthesized. This compound inhibited the in vitro activity of bovine smooth muscle PDE2 by 91.4% at 10 μM.
IT 477742-38-4P 685102-70-9P 685102-72-1P
685102-73-2P 685102-74-3P 685102-75-4P
685102-76-5P 685102-77-6P 685102-78-7P
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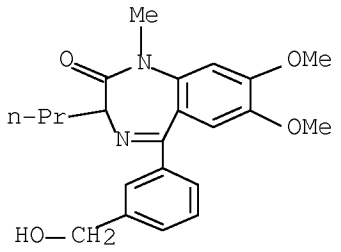
685103-93-9P 685103-94-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzodiazepinone inhibitors of cyclic nucleotide phosphodiesterase PDE2 for use in treatment of nervous system disorders)

RN 477742-38-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-[3-(hydroxymethyl)phenyl]-7,8-dimethoxy-1-methyl-3-propyl- (CA INDEX NAME)



RN 685102-70-9 CAPLUS
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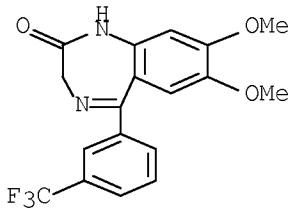
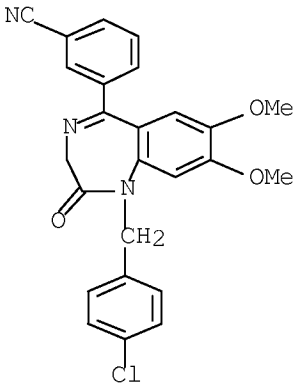
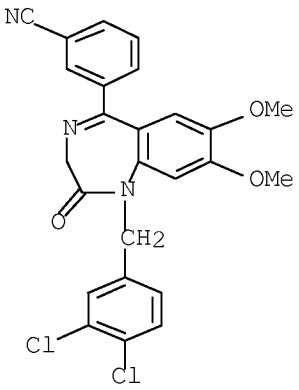


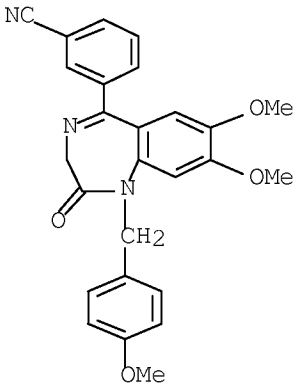
RN 685102-72-1 CAPLUS
CN Benzonitrile, 3-[1-[(4-chlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



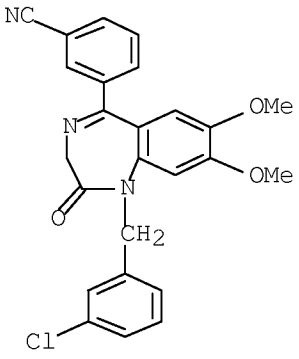
RN 685102-73-2 CAPLUS
CN Benzonitrile, 3-[1-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



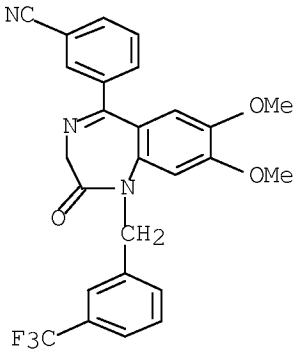
RN 685102-74-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-1-[(4-methoxyphenyl)methyl]-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



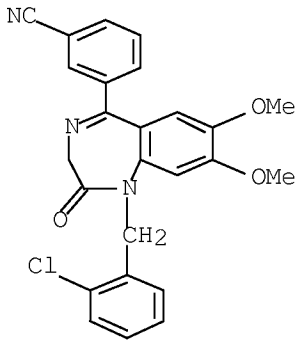
RN 685102-75-4 CAPLUS
CN Benzonitrile, 3-[1-[(3-chlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



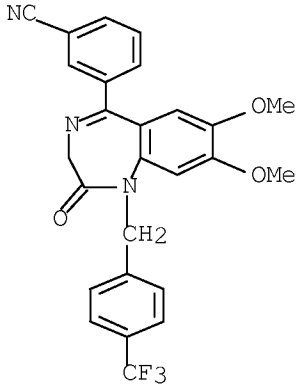
RN 685102-76-5 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-[[3-(trifluoromethyl)phenyl]methyl]-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



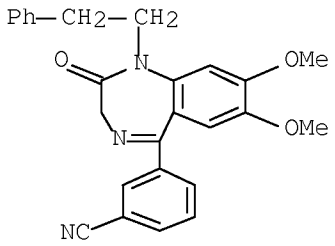
RN 685102-77-6 CAPLUS
CN Benzonitrile, 3-[1-[(2-chlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



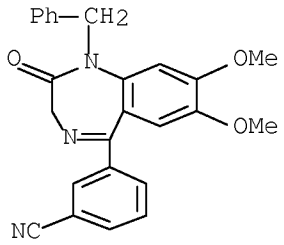
RN 685102-78-7 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-[[4-(trifluoromethyl)phenyl]methyl]-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



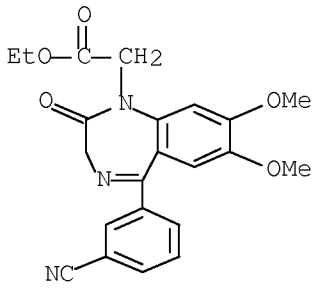
RN 685102-79-8 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-(2-phenylethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



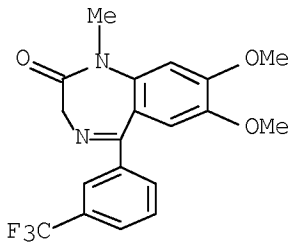
RN 685102-82-3 CAPLUS
CN Benzonitrile, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



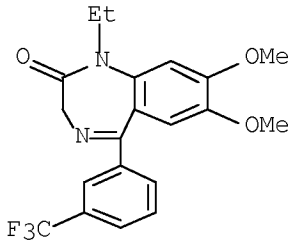
RN 685102-83-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-2-oxo-, ethyl ester (CA INDEX NAME)



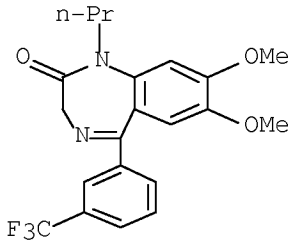
RN 685102-84-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



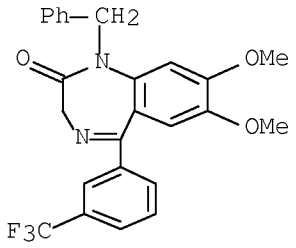
RN 685102-85-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



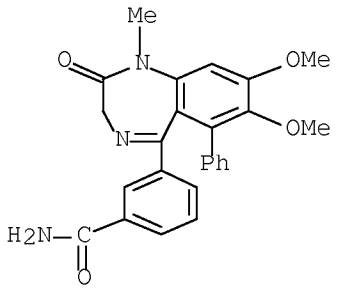
RN 685102-86-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-propyl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



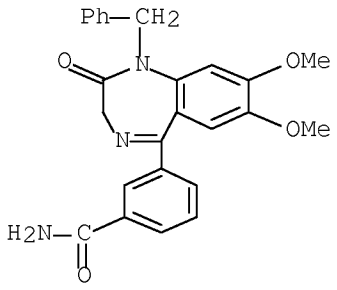
RN 685102-87-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-(phenylmethyl)-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



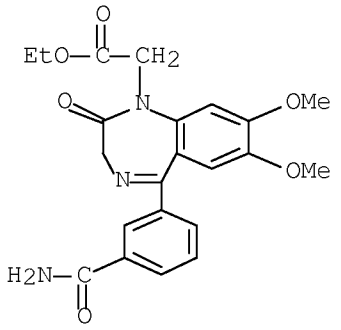
RN 685102-90-3 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-6-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



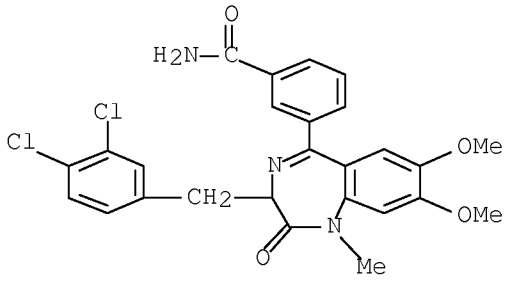
RN 685102-95-8 CAPLUS
CN Benzamide, 3-[2,3-dihydro-7,8-dimethoxy-2-oxo-1-(phenylmethyl)-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



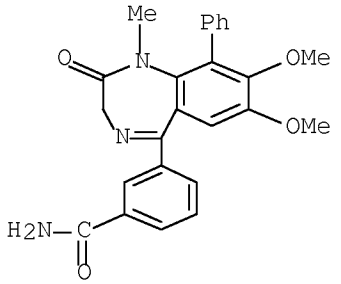
RN 685102-96-9 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetic acid, 5-[3-(aminocarbonyl)phenyl]-2,3-dihydro-7,8-dimethoxy-2-oxo-, ethyl ester (CA INDEX NAME)



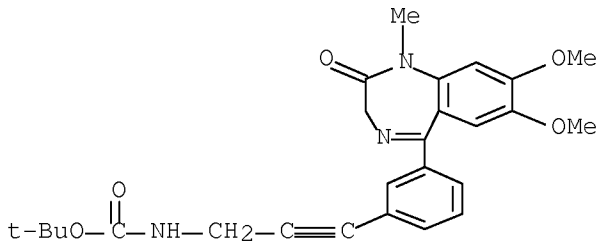
RN 685102-98-1 CAPLUS
CN Benzamide, 3-[3-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



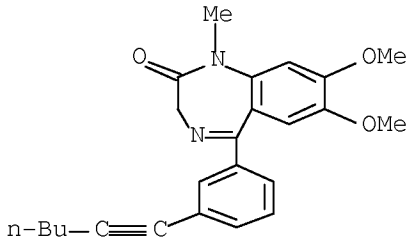
RN 685103-00-8 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-9-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



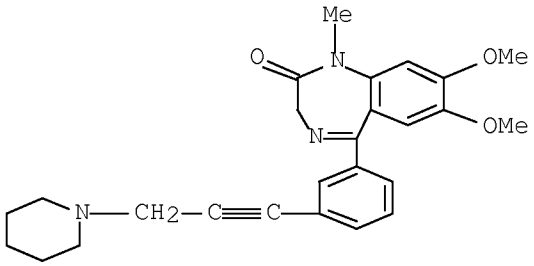
RN 685103-03-1 CAPLUS
CN Carbamic acid, [3-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



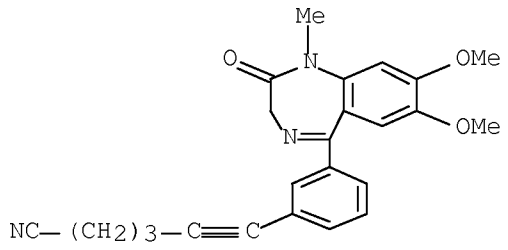
RN 685103-04-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(1-hexyn-1-yl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



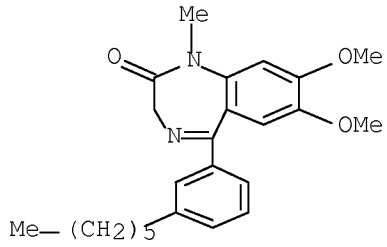
RN 685103-05-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-[3-(1-piperidinyl)-1-propyn-1-yl]phenyl]- (CA INDEX NAME)



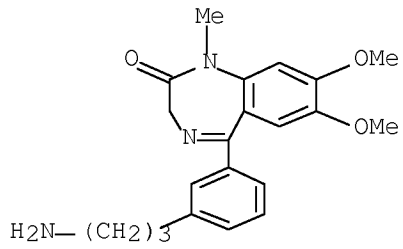
RN 685103-06-4 CAPLUS
CN 5-Hexynenitrile, 6-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]- (CA INDEX NAME)



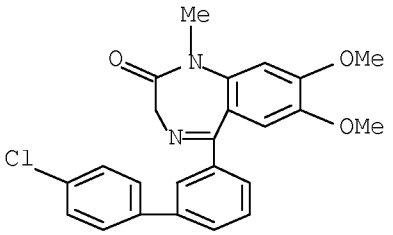
RN 685103-07-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-hexylphenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



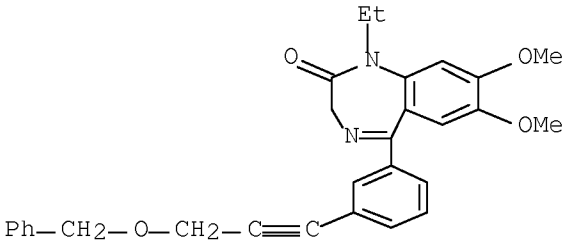
RN 685103-10-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(3-aminopropyl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



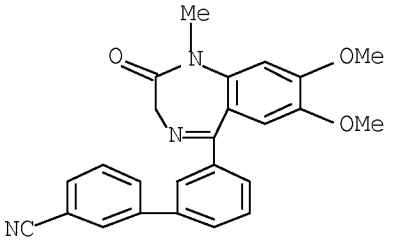
RN 685103-12-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4'-chloro[1,1'-biphenyl]-3-yl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



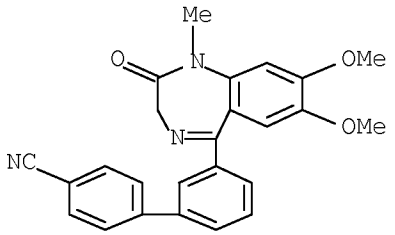
RN 685103-13-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[3-[3-(phenylmethoxy)-1-propyn-1-yl]phenyl]- (CA INDEX NAME)



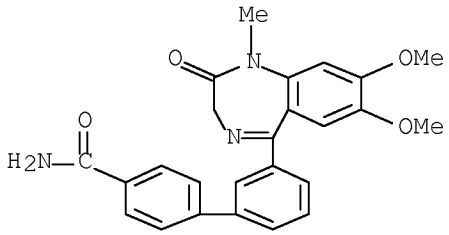
RN 685103-14-4 CAPLUS
CN [1,1'-Biphenyl]-3-carbonitrile, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



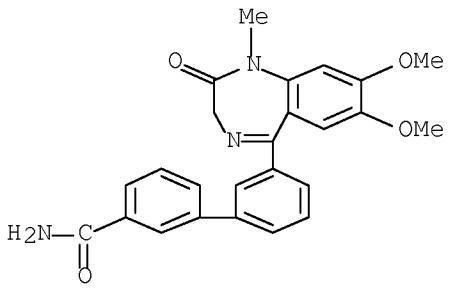
RN 685103-15-5 CAPLUS
CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



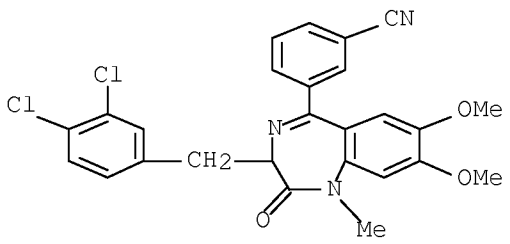
RN 685103-16-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



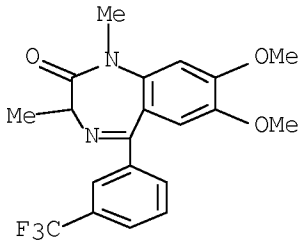
RN 685103-17-7 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 3'-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)



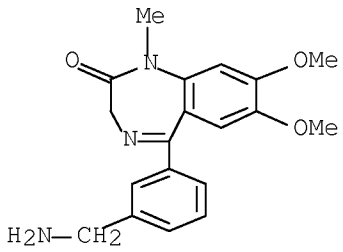
RN 685103-19-9 CAPLUS
CN Benzonitrile, 3-[3-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



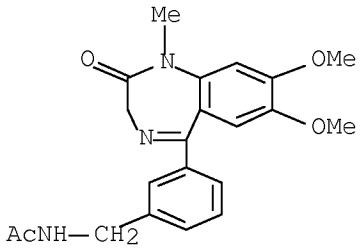
RN 685103-20-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1,3-dimethyl-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



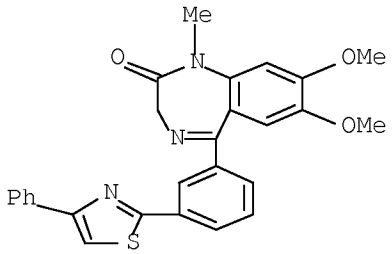
RN 685103-22-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3-(aminomethyl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



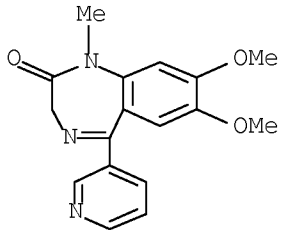
RN 685103-23-5 CAPLUS
CN Acetamide, N-[[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]methyl]- (CA INDEX NAME)



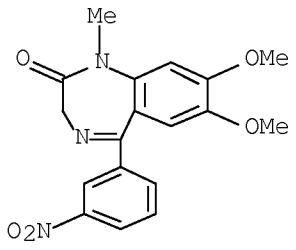
RN 685103-25-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-(4-phenyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



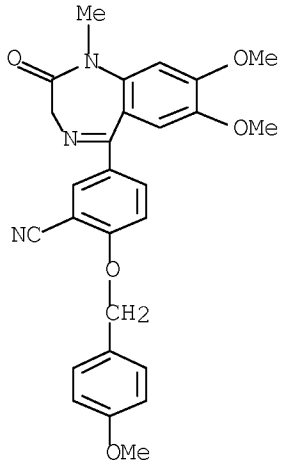
RN 685103-33-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(3-pyridinyl)- (CA INDEX NAME)



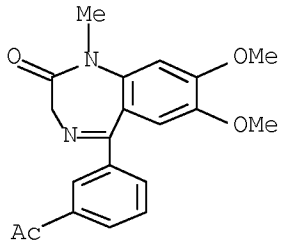
RN 685103-34-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(3-nitrophenyl)- (CA INDEX NAME)



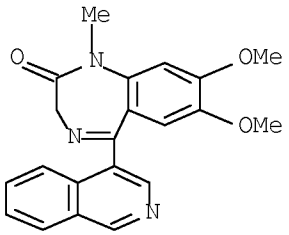
RN 685103-35-9 CAPLUS
CN Benzonitrile, 5-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)-2-[(4-methoxyphenyl)methoxy]- (CA INDEX NAME)



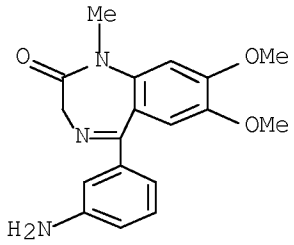
RN 685103-36-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-acetylphenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



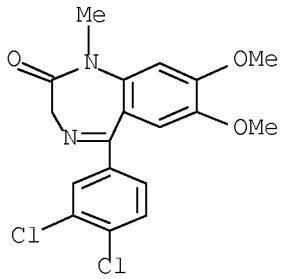
RN 685103-37-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-(4-isoquinolinyl)-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



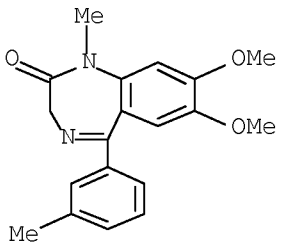
RN 685103-39-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-aminophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



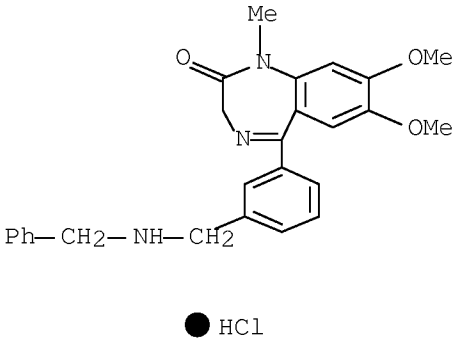
RN 685103-40-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3,4-dichlorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



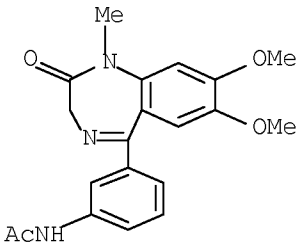
RN 685103-41-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(3-methylphenyl)- (CA INDEX NAME)



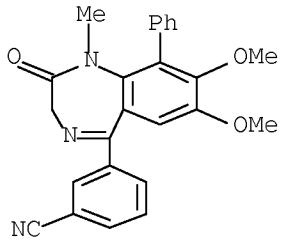
RN 685103-43-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-[[(phenylmethyl)amino]methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 685103-44-0 CAPLUS
CN Acetamide, N-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]- (CA INDEX NAME)

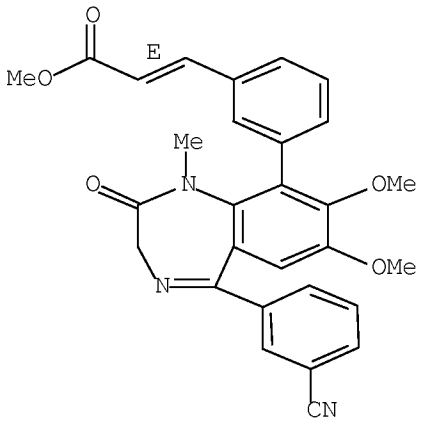


RN 685103-65-5 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-9-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)

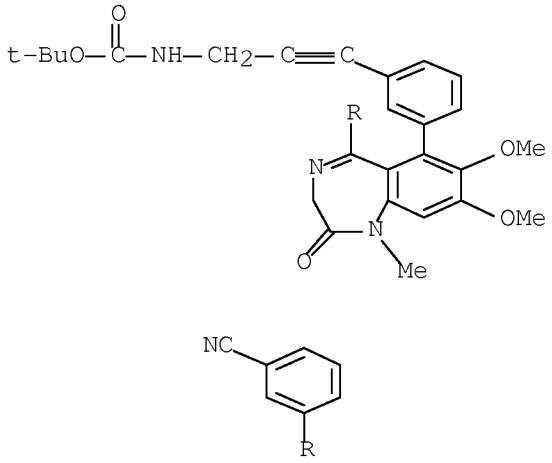


RN 685103-67-7 CAPLUS
CN 2-Propenoic acid, 3-[3-[5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-9-yl]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

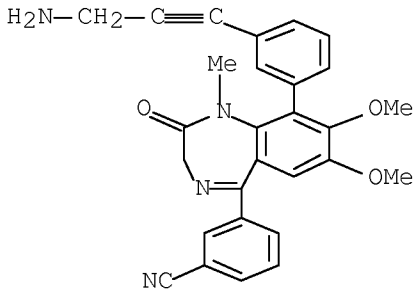
Double bond geometry as shown.



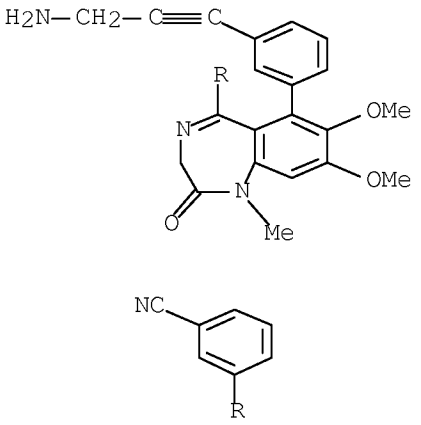
RN 685103-68-8 CAPLUS
CN Carbamic acid, [3-[3-[5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-6-yl]phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



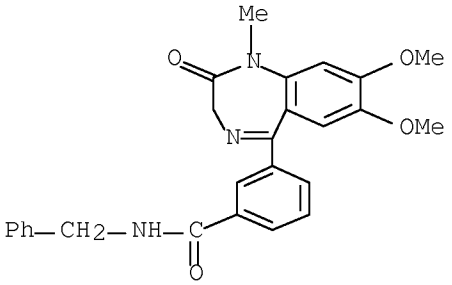
RN 685103-69-9 CAPLUS
CN Benzonitrile, 3-[9-[3-(3-amino-1-propyn-1-yl)phenyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



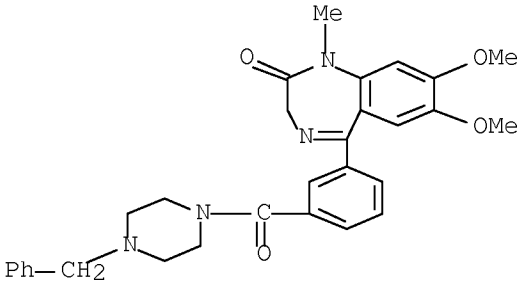
RN 685103-70-2 CAPLUS
CN Benzonitrile, 3-[6-[3-(3-amino-1-propyn-1-yl)phenyl]-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl]- (CA INDEX NAME)



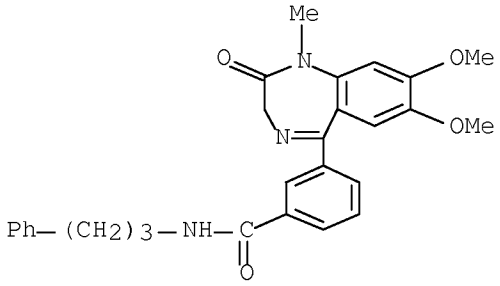
RN 685103-90-6 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 685103-93-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[3-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]phenyl]- (CA INDEX NAME)

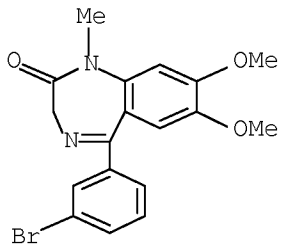


RN 685103-94-0 CAPLUS
CN Benzamide, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)-N-(3-phenylpropyl)- (CA INDEX NAME)

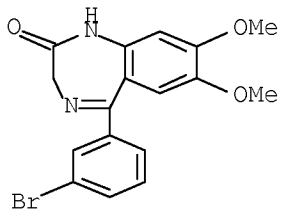


IT 477742-42-0P 477742-67-9P 477743-52-5P
685103-08-6P 685103-38-2P 685103-64-4P
685103-66-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzodiazepinone inhibitors of cyclic nucleotide phosphodiesterase PDE2 for use in treatment of nervous system disorders)

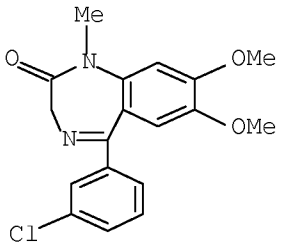
RN 477742-42-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



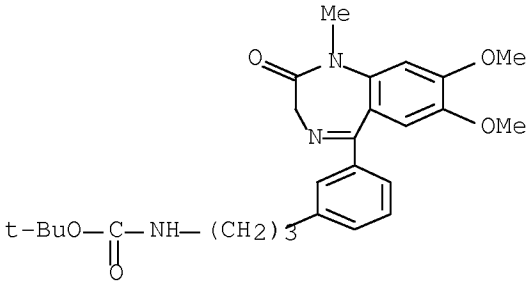
RN 477742-67-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



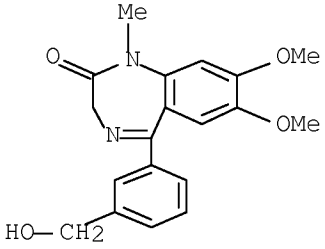
RN 477743-52-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-chlorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



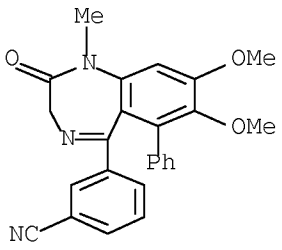
RN 685103-08-6 CAPLUS
CN Carbamic acid, [3-[3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



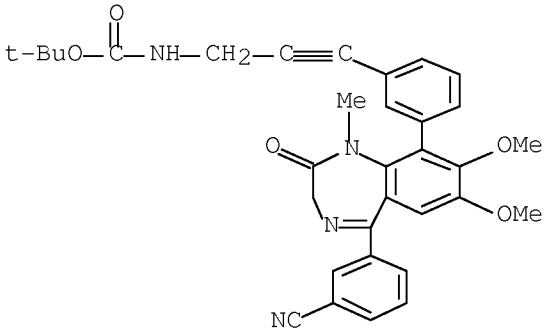
RN 685103-38-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-[3-(hydroxymethyl)phenyl]-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



RN 685103-64-4 CAPLUS
CN Benzonitrile, 3-(2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-6-phenyl-1H-1,4-benzodiazepin-5-yl)- (CA INDEX NAME)

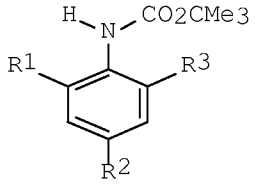


RN 685103-66-6 CAPLUS
CN Carbamic acid, [3-[3-[5-(3-cyanophenyl)-2,3-dihydro-7,8-dimethoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-9-yl]phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

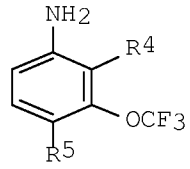


RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

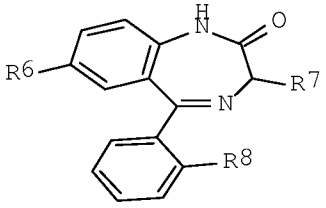
L11 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:352452 CAPLUS Full-text
DN 139:117169
TI Trifluoromethoxy Substituted Anilines: Metalation as the Key Step for Structural Elaboration
AU Leroux, Frederic; Castagnetti, Eva; Schlosser, Manfred
CS Institut de Chimie moleculaire et biologique, Ecole Polytechnique Federale, Lausanne, CH-1015, Switz.
SO Journal of Organic Chemistry (2003), 68(12), 4693-4699
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:117169
GI



I



II



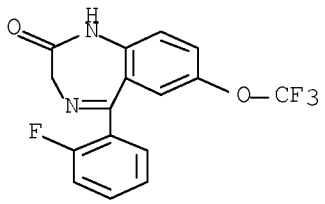
III

AB Trifluoromethoxy-substituted anilines undergo hydrogen/lithium permutation ("metalation") with optional site selectivity depending on the N-protective group employed. N-tert-Butoxycarbonyl-2- and -4-(trifluoromethoxy)aniline react with tert-BuLi at the nitrogen-adjacent 6- and 2-position affording, after electrophilic trapping, the corresponding substituted anilines I (R1 = F3CO, R2 = H, R3 = HO2C; R1 = H, R2 = F3CO, R3 = Me, CHO, HO2C, HOCH2CH2). In contrast, deprotonation of the N,N-bis(trimethylsilyl)-substituted 4-(trifluoromethoxy)aniline occurs at the oxygen-neighboring 3-position. Sec-BuLi attacks 3-trifluoromethoxy-N-(trimethylsilyl)aniline at the 2-position, but 3-trifluoromethoxy-N,N-bis(trimethylsilyl)aniline at the 4-position to provide the corresponding acids II (R4 = HO2C, R5 = H; R4 = H, R5 = HO2C), resp., after carboxylation. The synthesis of two new benzodiazepines III (R6 = F3CO, R7 = H, R8 = F; R6 = Cl, R7 = HO, R8 = F3CO) illustrates the preparative potential of the aniline functionalization mediated by organometallic reagents.

IT 561304-61-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of functionalized anilines, aminobenzoic acids and benzodiazepinones via regioselective metalation/electrophilic substitution of trifluoromethoxy-substituted anilines)

RN 561304-61-8 CAPLUS

CN 2H-1,4-Benzodiazepin-2-one, 5-(2-fluorophenyl)-1,3-dihydro-7-(trifluoromethoxy)- (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:946275 CAPLUS Full-text
DN 138:14079
TI Preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase (particularly PDE4) inhibitors useful as antiinflammatories
IN Bourguignon, Jean-Jacques; Lagouge, Yan; Lugnier, Claire; Klotz, Eveline; Macher, Jean-Paul; Raboisson, Pierre; Schultz, Dominique
PA Neuro3d, Fr.
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002098865	A2	20021212	WO 2002-FR1952	20020607
	WO 2002098865	A3	20030227		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2446696	A1	20021212	CA 2002-2446696	20020607
	AU 2002317910	A1	20021216	AU 2002-317910	20020607
	AU 2002317910	B2	20080619		
	NZ 529582	A	20031219	NZ 2002-529582	20020607
	EP 1392663	A2	20040303	EP 2002-747514	20020607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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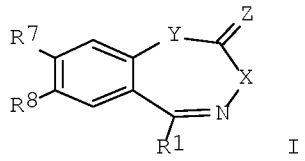
	IN 2003MN01028	A	20050624	IN 2003-MN1028	20031107
	US 20040152888	A1	20040805	US 2003-479000	20031126
	US 7250410	B2	20070731		
	ZA 2004000057	A	20050221	ZA 2004-57	20040106
	AU 2008221554	A1	20081009	AU 2008-221554	20080918
PRAI	FR 2001-7458	A	20010607		
	AU 2002-317910	A3	20020607		
	WO 2002-FR1952	W	20020607		

OS MARPAT 138:14079
GI



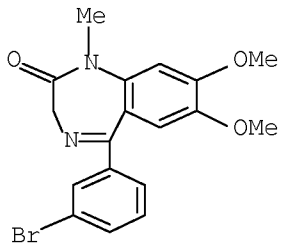
I

AB The invention concerns novel benzodiazepinone derivs. (shown as I; variables defined below; e.g. 7,8-dimethoxy-1-(2-naphthyl)-3-methyl-3,5- dihydro-4H-2,3-benzodiazepin-4-one) and their uses in therapy, particularly for treating pathologies involving the activity of a phosphodiesterase of cyclic

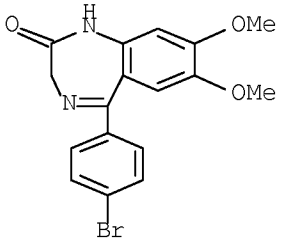
nucleotides, particularly PDE4 (data included). The invention also concerns methods for preparing I and intermediates and many example prepns. are included. For example, 7,8-dimethoxy-3-methyl-1-(1-naphthyl)-3,5-dihydro-4H-2,3-benzodiazepin-4- one was prepared in 31% yield by heating Me [4,5-dimethoxy-2-(1-naphthoyl)phenyl]acetate, methylhydrazine and EtOH in a sealed tube at 150° for 3 h, cooling to room temperature, adding acetic acid, heating to reflux for 25 min, evaporating to dryness, and adding ice water. In I: either X = NR4 and Y = CR6R6' or X = CR4R4' and Y = NR6; Z = O, S. R1 = (C1-C12) alkyl, (C3-C6) cycloalkyl, (C6-C18) aryl, (C6-C18)aryl(C1-C4)alkyl, (C1-C12)alkyl(C6-C18)aryl, (C5-C18) heterocycle including 1-3 heteroatoms, or OR2, SR2 or NR2R3 in which (i) R2 and R3 = H, (C1-C6) alkyl, (C3-C6) cycloalkyl, (C6-C12) aryl, or (C5-C12) heterocycle including 1-3 heteroatoms or, (ii) R2 and R3 together form a linear or branched hydrocarbon chain having 2-6 atoms of C, optionally including ≥1 double bonds and/or optionally interrupted by O, S or N. R4 and R4' = (C3-C6) cycloalkyl, (C6-C18) unsubstituted aryl, (C6-C18)aryl(C1-C4)alkyl, (C1-C12)alkyl(C6-C18)aryl or (C5-C18) heterocycle including 1-3 heteroatoms, with provisos. R6 and R6' = H, (C1-C6) alkyl, (C6-C18) aryl, (C6-C18)aryl(C1-C4)alkyl, (C1-C12)alkyl(C6-C18)aryl, preferentially Ph, benzyl and (C1-C6)alkylphenyl;. R7 and R8 = H, (C1-C12) alkyl and a group OR2, with the condition that R7 and R8 are not both H, or R7 and R8 together form a linear or branched hydrocarbon chain having 2-6 C atoms, including optionally ≥1 double bonds and/or optionally interrupted by O, S or N. Addnl. definitions of the variables in I are given in the claims.

IT 477742-42-0P, 5-(3-Bromophenyl)-7,8-dimethoxy-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-63-5P, 5-(4-Bromophenyl)-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-67-9P, 5-(3-Bromophenyl)-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-97-5P, 5-(4-Bromophenyl)-1-ethyl-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-98-6P, 5-(3-Bromophenyl)-1-ethyl-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477743-01-4P, 5-(1,1'-Biphenyl-4-yl)-1-ethyl-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase (particularly PDE4) inhibitors useful as antiinflammatories)

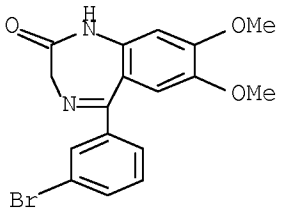
RN 477742-42-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



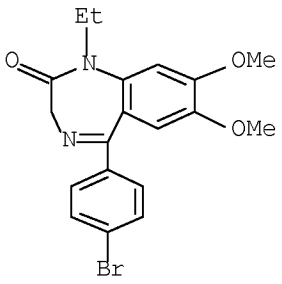
RN 477742-63-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-bromophenyl)-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



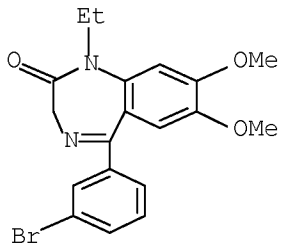
RN 477742-67-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



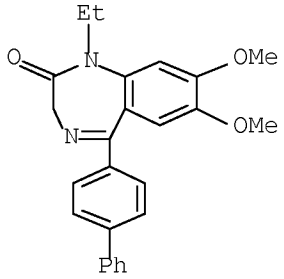
RN 477742-97-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-bromophenyl)-1-ethyl-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



RN 477742-98-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-bromophenyl)-1-ethyl-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



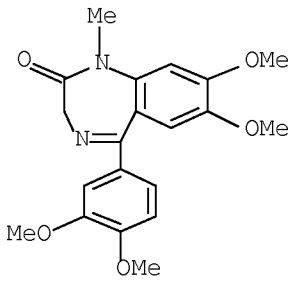
RN 477743-01-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[1,1'-biphenyl]-4-yl-1-ethyl-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



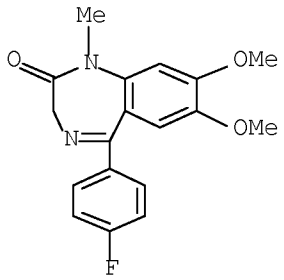
IT 477742-20-4P, 7,8-Dimethoxy-5-(3,4-dimethoxyphenyl)-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-22-6P, 7,8-Dimethoxy-5-(4-fluorophenyl)-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-23-7P, 7,8-Dimethoxy-1-methyl-5-(4-pyridyl)-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-24-8P, 7,8-Dimethoxy-1-methyl-5-[3,5-bis(trifluoromethyl)phenyl]-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-27-1P, 5-(4-Acetylphenyl)-7,8-dimethoxy-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-28-2P, 7,8-Dimethoxy-5-(4-N,N-dimethylaminophenyl)-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-30-6P, 7,8-Dimethoxy-5-(3-methoxyphenyl)-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-31-7P, 7,8-Dimethoxy-5-(2-methoxyphenyl)-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-33-9P, 5-(6-Benzyloxy-2-naphthyl)-7,8-dimethoxy-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-34-0P, 7,8-Dimethoxy-5-(6-methoxy-2-naphthyl)-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-38-4P, 7,8-Dimethoxy-5-(3-hydroxymethylphenyl)-1-methyl-3-propyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-39-5P, 7,8-Dimethoxy-1-methyl-5-(2-methylphenyl)-1,3-dihydro-1,4-benzodiazepin-2-one 477742-40-8P, 7,8-Dimethoxy-1-methyl-5-(N-1,2,3,4-tetrahydroisoquinolyl)-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-41-9P, 7,8-Dimethoxy-5-(4-methoxyphenyl)-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one 477742-43-1P, 5-(1,1'-Biphenyl-3-yl)-7,8-dimethoxy-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-62-4P, 7-Methoxy-5-[3-(trifluoromethyl)phenyl]-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-68-0P, 5-(2-Bromophenyl)-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-86-2P,

5-(4-Bromophenyl)-7,8-dimethoxy-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477742-99-7P, 5-[4-[3-(Benzyloxy)prop-1-ynyl]phenyl]-1-ethyl-7,8-dimethoxy-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477743-00-3P, tert-Butyl 3-[4-(1-ethyl-7,8-dimethoxy-2-oxo-2,3-dihydro-1H-1,4-benzodiazepin-5-yl)phenyl]prop-2-ynylcarbamate 477743-03-6P, 1-Ethyl-7,8-dimethoxy-5-[4-(phenylethynyl)phenyl]-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477743-06-9P, 1-Ethyl-7,8-dimethoxy-5-[4-(2-phenylethyl)phenyl]-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477743-08-1P, 1-Ethyl-7,8-dimethoxy-5-[3-(phenylethynyl)phenyl]-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477743-50-3P, 5-(4-Chlorophenyl)-7,8-dimethoxy-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 477743-52-5P, 5-(3-Chlorophenyl)-7,8-dimethoxy-1-methyl-1,3-dihydro-1,4-benzodiazepin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzodiazepinones as cyclic nucleotide phosphodiesterase (particularly PDE4) inhibitors useful as antiinflammatories)

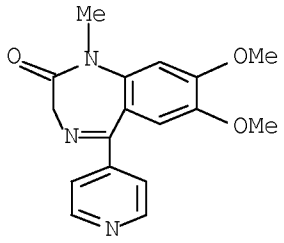
RN 477742-20-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3,4-dimethoxyphenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



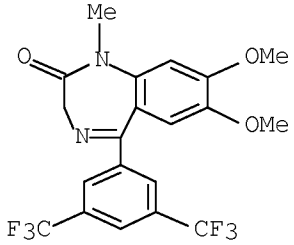
RN 477742-22-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-fluorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



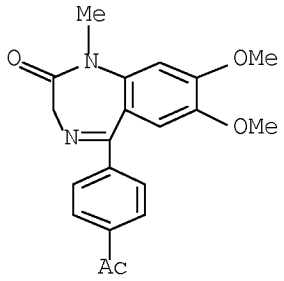
RN 477742-23-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(4-pyridinyl)- (CA INDEX NAME)



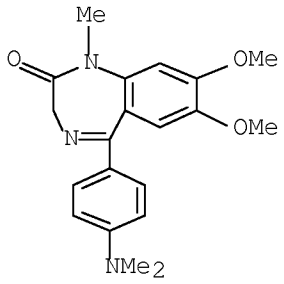
RN 477742-24-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[3,5-bis(trifluoromethyl)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



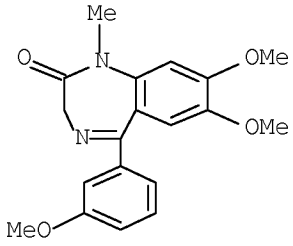
RN 477742-27-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-acetylphenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



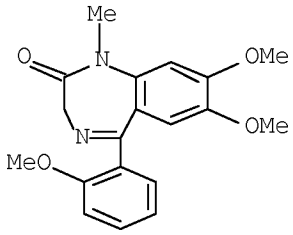
RN 477742-28-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[4-(dimethylamino)phenyl]-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



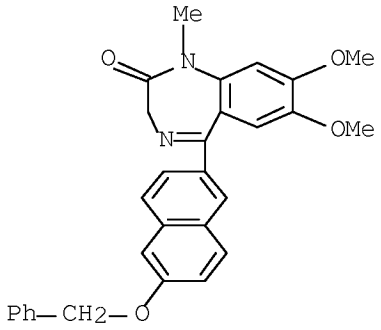
RN 477742-30-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-5-(3-methoxyphenyl)-1-methyl- (CA INDEX NAME)



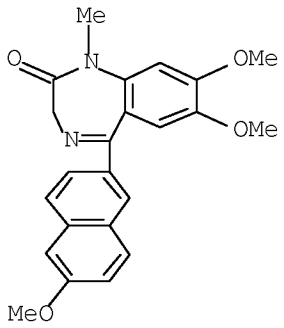
RN 477742-31-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-5-(2-methoxyphenyl)-1-methyl- (CA INDEX NAME)



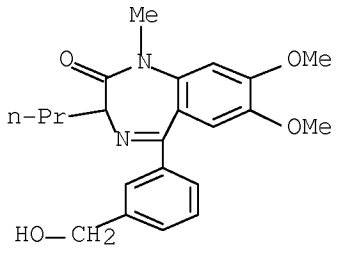
RN 477742-33-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-[6-(phenylmethoxy)-2-naphthalenyl]- (CA INDEX NAME)



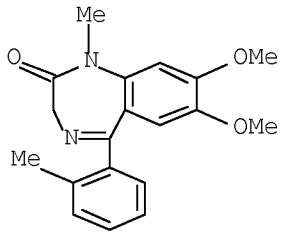
RN 477742-34-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-5-(6-methoxy-2-naphthalenyl)-1-methyl- (CA INDEX NAME)



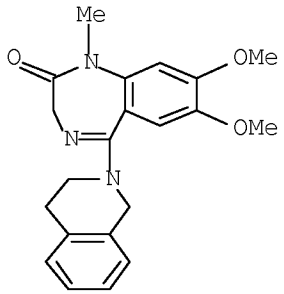
RN 477742-38-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-5-[3-(hydroxymethyl)phenyl]-7,8-dimethoxy-1-methyl-3-propyl- (CA INDEX NAME)



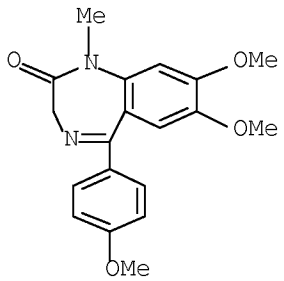
RN 477742-39-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-1-methyl-5-(2-methylphenyl)- (CA INDEX NAME)



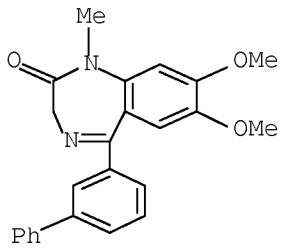
RN 477742-40-8 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3,4-dihydro-2(1H)-isoquinolinyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



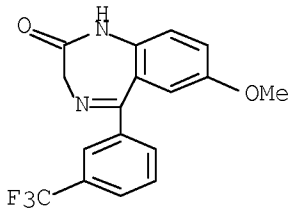
RN 477742-41-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7,8-dimethoxy-5-(4-methoxyphenyl)-1-methyl- (CA INDEX NAME)



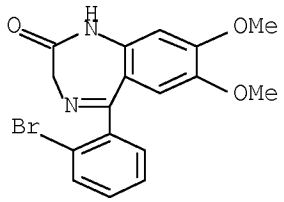
RN 477742-43-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[1,1'-biphenyl]-3-yl-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



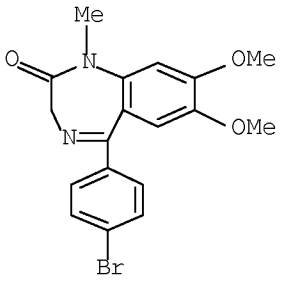
RN 477742-62-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-7-methoxy-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



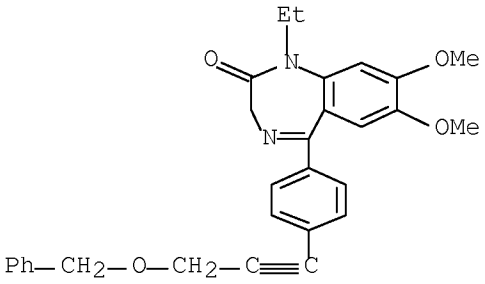
RN 477742-68-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-bromophenyl)-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



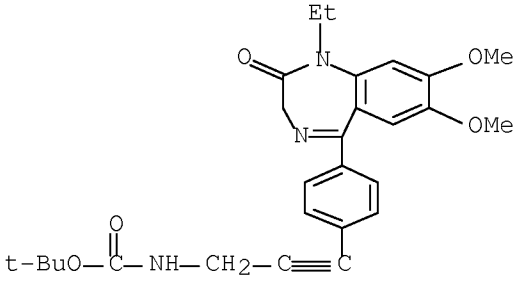
RN 477742-86-2 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-bromophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



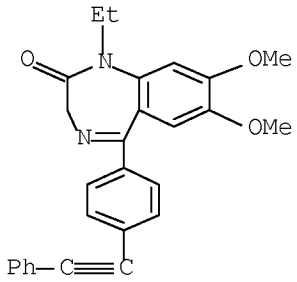
RN 477742-99-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[4-[3-(phenylmethoxy)-1-propyn-1-yl]phenyl]- (CA INDEX NAME)



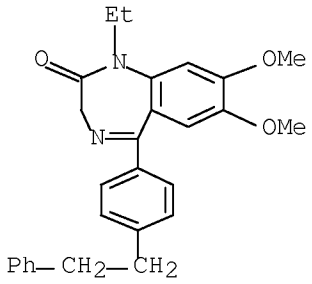
RN 477743-00-3 CAPLUS
CN Carbamic acid, [3-[4-(1-ethyl-2,3-dihydro-7,8-dimethoxy-2-oxo-1H-1,4-benzodiazepin-5-yl)phenyl]-2-propynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



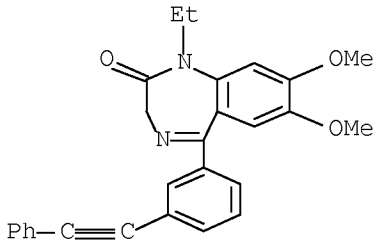
RN 477743-03-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[4-(2-phenylethynyl)phenyl]- (CA INDEX NAME)



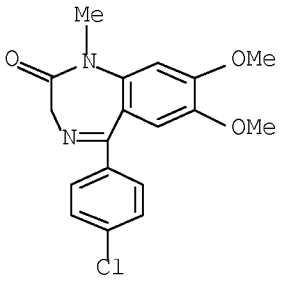
RN 477743-06-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[4-(2-phenylethyl)phenyl]- (CA INDEX NAME)



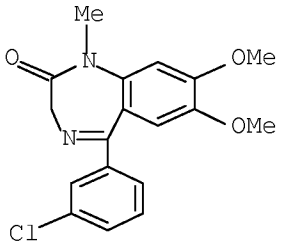
RN 477743-08-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7,8-dimethoxy-5-[3-(2-phenylethynyl)phenyl]- (CA INDEX NAME)



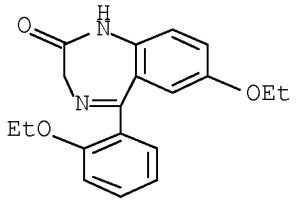
RN 477743-50-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(4-chlorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)



RN 477743-52-5 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(3-chlorophenyl)-1,3-dihydro-7,8-dimethoxy-1-methyl- (CA INDEX NAME)

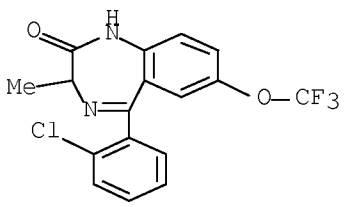


L11 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:906591 CAPLUS Full-text
DN 136:226419
TI Cell-based screening approach for antitumor drug leads which exploits sensitivity differences between normal and cancer cells: identification of two novel cell-cycle inhibitors
AU Vassilev, Lyubomir T.; Kazmer, Sonja; Marks, Ian M.; Pezzoni, Gabriella; Sala, Franca; Mischke, Steven G.; Foley, Louise; Berthel, Steven J.
CS Discovery Oncology, Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SO Anti-Cancer Drug Design (2001), 16(1), 7-17
CODEN: ACDDEA; ISSN: 0266-9536
PB Oxford University Press
DT Journal
LA English
AB A cell-based in vitro screening approach for identification of antitumor drug leads that exploits the differential sensitivity between normal and cancer cells was developed. It is a three-step, high-throughput screen for antiproliferative and/or cytotoxic activity measured by a 7 day MTT [3-(4,5-dimethylthiazol-2yl)-2,5-diphenyl tetrazolium bromide] assay using small panels of proliferating primary human cells and established cancer cell lines. Proof-of-concept expts. successfully identified 11 known cancer drugs randomly mixed with 5000 test compds. Application of this screening approach to a library of 110,000 compds. allowed for the identification of several novel chemical classes of compds. active against an expanded panel of cancer cell lines in vitro. Two of the compds. representing novel mitotic inhibitors with in vivo potency against established breast cancer xenografts (MDA-MB-435) are reported here.
IT 403621-05-6P, Ro 23-6538
RL: PNU (Preparation, unclassified); PREP (Preparation)
(cell-based screening approach for antitumor drug leads which exploits sensitivity differences between normal and cancer cells and identification of two novel cell-cycle inhibitors)
RN 403621-05-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-ethoxy-5-(2-ethoxyphenyl)-1,3-dihydro- (CA INDEX NAME)

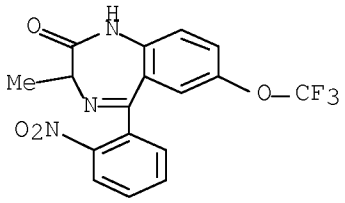


RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1996:712945 CAPLUS Full-text
DN 126:26366
OREF 126:5245a,5248a
TI Genetic Neural Networks for Quantitative Structure-Activity Relationships: Improvements and Application of Benzodiazepine Affinity for Benzodiazepine/GABAA Receptors
AU So, Sung-Sau; Karplus, Martin
CS Department of Chemistry and Chemical Biology, Harvard University, Cambridge, MA, 02138, USA
SO Journal of Medicinal Chemistry (1996), 39(26), 5246-5256
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A novel tool, called a genetic neural network (GNN), has been developed for obtaining quant. structure-activity relationships (QSAR) for high-dimensional data sets. The GNN method uses a neural network to correlate activity with descriptors that are preselected by a genetic algorithm. To provide an extended test of the GNN method, the data on 57 benzodiazepines given by D. J. Maddalena and G. A. R. Johnston (1995) have been examined with an enhanced version of GNN, and the results are compared with the excellent QSAR of these authors. The problematic steepest descent training has been replaced by the scaled conjugate gradient algorithm. This leads to a substantial gain in performance in both robustness of prediction and speed of computation. The cross-validation GNN simulation and the subsequent run based on an unbiased and more efficient protocol led to the discovery of other 10-descriptor QSARs that are superior to the best model of the previous authors based on backward elimination selection and neural network training. Results from a series of GNNs with a different number of inputs showed that a neural network with fewer inputs can produce QSARs as good as or even better than those with higher dimensions. The top-ranking models from a GNN simulation using only 6 input descriptors are presented, and the chemical significance of the chosen descriptors is discussed. The statistical significance of these GNN QSARs is validated. The best QSARs are used to provide a graphical tool that aids the design of new drug analogs. By replacing functional groups at the 7- and 2'-positions with ones that have optimal substituent parameters, a number of new benzodiazepines with high potency are predicted.
IT 184712-73-0 184712-85-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(genetic neural networks for QSAR in improvements and application of benzodiazepine affinity for benzodiazepine/GABAA receptors)
RN 184712-73-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-chlorophenyl)-1,3-dihydro-3-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)



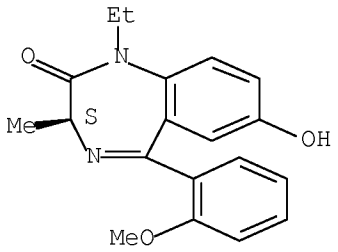
RN 184712-85-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1,3-dihydro-3-methyl-5-(2-nitrophenyl)-7-(trifluoromethoxy)- (CA INDEX NAME)



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

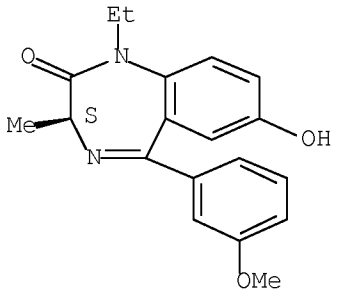
L11 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:433805 CAPLUS Full-text
DN 123:83335
OREF 123:14913a,14916a
TI Solid-Phase Synthesis of Structurally Diverse 1,4-Benzodiazepine Derivatives Using the Stille Coupling Reaction
AU Plunkett, Matthew J.; Ellman, Jonathan A.
CS Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SO Journal of the American Chemical Society (1995), 117(11), 3306-7
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 123:83335
AB We report a general and high yielding method for the solid-phase synthesis of 2-aminoaryl ketone derivs. with diverse chemical functionality, and then demonstrate the direct incorporation of these mols. into structurally complex 1,4-benzodiazepine derivs. Stille couplings between a support-bound N-protected (2-aminoaryl)stannane and a range of aromatic and aliphatic acid chlorides were performed with the "ligandless" catalyst Pd2dba3.CHCl3. After deprotection, the support-bound 2-aminoaryl ketones were incorporated directly into 1,4-benzodiazepine derivs. in high overall yield. Eleven derivs. were synthesized incorporating 2-aminobenzophenones prepared from acid chlorides that were electron rich, electron poor, alkyl substituted, polyarom., heterocyclic, and ortho substituted. Similarly, four benzodiazepines were synthesized from 2-aminoacetophenones prepared from several aliphatic acid chlorides incorporating ester, halogen, adamantyl, and cyclohexyl functional groups.
IT 165056-85-9P 165056-86-0P 165056-87-1P
165056-90-6P 165056-94-0P 165056-95-1P
165056-97-3P 165056-98-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of benzodiazepines using the Stille coupling reaction)
RN 165056-85-9 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7-hydroxy-5-(2-methoxyphenyl)-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



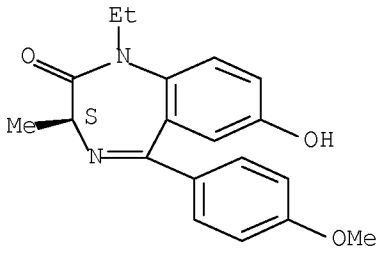
RN 165056-86-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7-hydroxy-5-(3-methoxyphenyl)-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



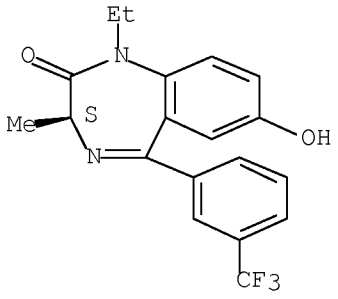
RN 165056-87-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7-hydroxy-5-(4-methoxyphenyl)-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



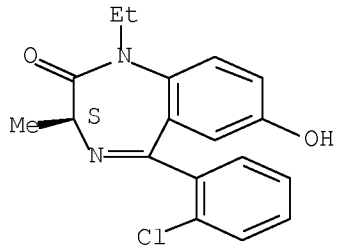
RN 165056-90-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 1-ethyl-1,3-dihydro-7-hydroxy-3-methyl-5-[3-(trifluoromethyl)phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



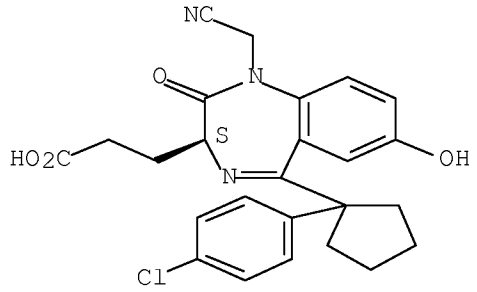
RN 165056-94-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-chlorophenyl)-1-ethyl-1,3-dihydro-7-hydroxy-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



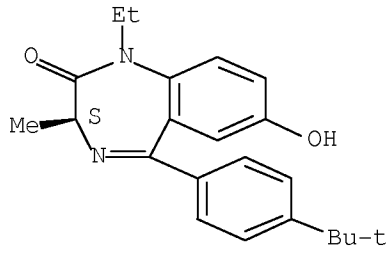
RN 165056-95-1 CAPLUS
CN 1H-1,4-Benzodiazepine-3-propanoic acid, 5-[1-(4-chlorophenyl)cyclopentyl]-1-(cyanomethyl)-2,3-dihydro-7-hydroxy-2-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



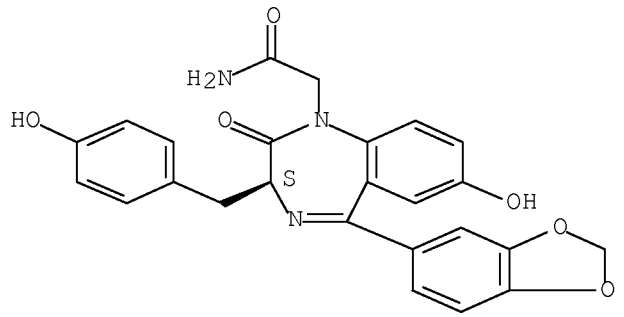
RN 165056-97-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1,3-dihydro-7-hydroxy-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 165056-98-4 CAPLUS
CN 1H-1,4-Benzodiazepine-1-acetamide, 5-(1,3-benzodioxol-5-yl)-2,3-dihydro-7-hydroxy-3-[(4-hydroxyphenyl)methyl]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

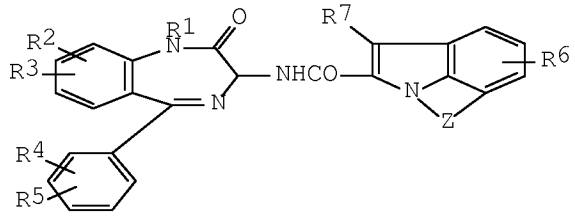
Absolute stereochemistry.



L11 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:122429 CAPLUS Full-text
DN 114:122429
OREF 114:20865a,20868a
TI Preparation of 1,7-annelated N-(2-oxo-1,4-benzodiazepin-3-yl)-1H-indole-2-carboxamides as cholecystokinin antagonists
IN Waldeck, Harald; Benson, Werner; Zeugner, Horst; Wolf, Klaus Ullrich; Gregory, Peter Colin; Hamminga, Derk; Van Wijngaarden, Ineke
PA Kali-Chemie Pharma G.m.b.H., Germany
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3907390	A1	19900913	DE 1989-3907390	19890308
IL 93401	A	19940826	IL 1990-93401	19900214
EP 387618	A1	19900919	EP 1990-103990	19900301
EP 387618	B1	19940727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2057216	T3	19941016	ES 1990-103990	19900301
CN 1045392	A	19900919	CN 1990-101141	19900305
CN 1032208	C	19960703		
NO 9001083	A	19900910	NO 1990-1083	19900307
NO 173869	B	19931108		
NO 173869	C	19940216		
AU 9050753	A	19900913	AU 1990-50753	19900307
AU 616835	B2	19911107		
HU 53641	A2	19901128	HU 1990-1333	19900307
HU 207317	B	19930329		
US 5010076	A	19910423	US 1990-489502	19900307
FI 92486	B	19940815	FI 1990-1154	19900307
FI 92486	C	19941125		
JP 02273678	A	19901108	JP 1990-55191	19900308
JP 3010558	B2	20000221		
HU 210354	B	19950328	HU 1994-34	19940930
PRAI DE 1989-3907389	A	19890308		
DE 1989-3907390	A	19890308		

OS CASREACT 114:122429; MARPAT 114:122429
GI



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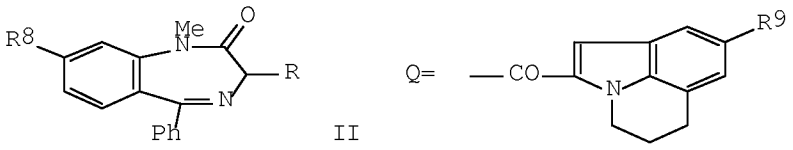
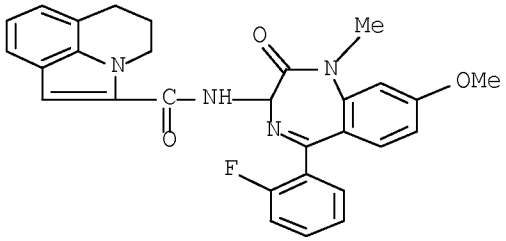


II

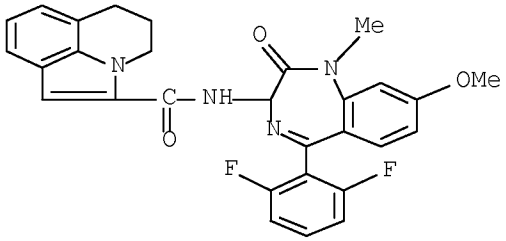
AB The title compds. [I; R1 = H, alkyl, cycloalkyl; R2, R5 = H, halo, alkyl, alkoxy; R3, R4, R6 = groups cited for R2, CF3; R2R3 = OCH2O, OCH2CH2O; R7 = H, halo, alkoxy; Z = (un)substituted (un)annelated C2-4 alkylene, CH2CH2X with X bound to benzene ring of indole; X = O, S] were prepared Thus, 3-(MeO)C6H4NMeCH2CH(OH)CH2NHBz (preparation given) was heated 1.5 h at 130° in POCl3 and the product treated with KMnO4 in CH2Cl2 to give oxobenzodiazepine II (R = H, R8 = MeO) which was converted in 2 steps to II (R = NH2, R8 = MeO). The latter was added to a CH2Cl2 solution of pyrroloquinolinecarboxylic anhydride QOSO2Me (R9 = H) (preparation given) to give II (R = NHQ, R9 = H, R8 = OMe). II (R = NHQ, R8 = H, R9 = F) in mice reversed cholecystokinin-induced inhibition of stomach emptying in all test animals receiving 1.0 μmol/kg orally.

IT 132521-93-8P 132521-98-3P 132521-99-4P
132522-00-0P 132522-01-1P 132522-02-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin antagonist)

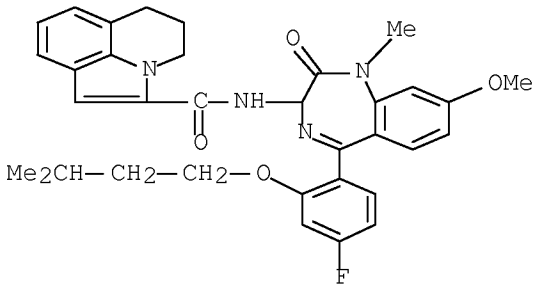
RN 132521-93-8 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-2-carboxamide, N-[5-(2-fluorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-5,6-dihydro- (CA INDEX NAME)



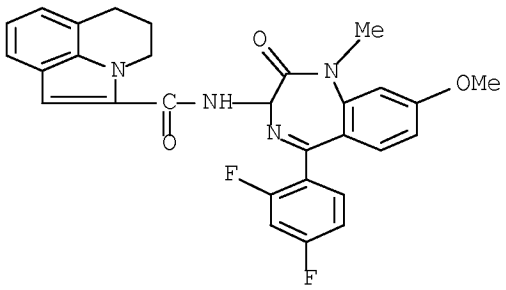
RN 132521-98-3 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-2-carboxamide, N-[5-(2,6-difluorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-5,6-dihydro- (CA INDEX NAME)



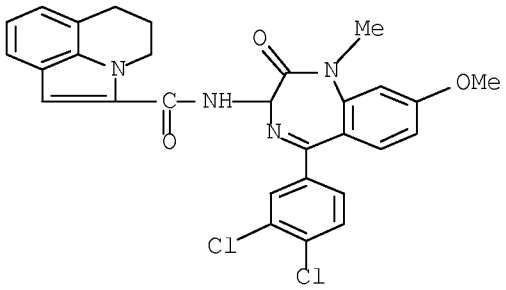
RN 132521-99-4 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-2-carboxamide, N-[5-[4-fluoro-2-(3-methylbutoxy)phenyl]-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-5,6-dihydro- (CA INDEX NAME)



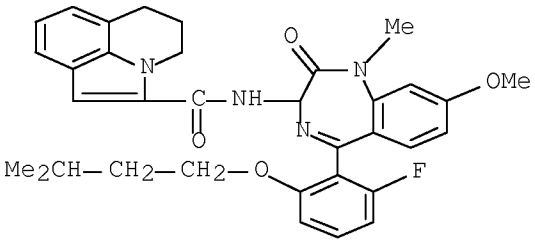
RN 132522-00-0 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-2-carboxamide, N-[5-(2,4-difluorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-5,6-dihydro- (CA INDEX NAME)



RN 132522-01-1 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-2-carboxamide, N-[5-(3,4-dichlorophenyl)-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-5,6-dihydro- (CA INDEX NAME)



RN 132522-02-2 CAPLUS
CN 4H-Pyrrolo[3,2,1-ij]quinoline-2-carboxamide, N-[5-[2-fluoro-6-(3-methylbutoxy)phenyl]-2,3-dihydro-8-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-5,6-dihydro- (CA INDEX NAME)


O
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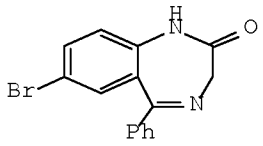
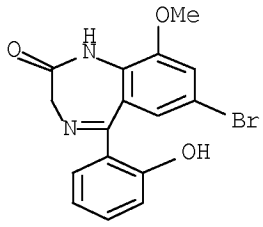
Me2CH— CH2— CH2— O
F

L11 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1988:562839 CAPLUS Full-text
DN 109:162839
OREF 109:26843a,26846a
TI Mass-spectrometric assay of bromonordiazepam metabolites
AU Golovenko, N. Ya.; Zin'kovskii, V. G.; Vasilinin, G. B.; Stankevich, E. A.; Andronati, S. A.; Timofeev, O. S.
CS Fiz.-Khim. Inst. im. Bogatskogo, Odessa, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1988), 22(7), 779-83
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
GI

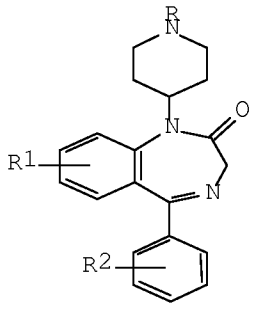


I

AB The title drug was metabolized in mice into a 3-hydroxy derivative, its glucuronide, and a quinazolin-2-one. In rats it was metabolized by aromatic hydroxylation, methoxylation, and glucuronic conjugation.
IT 116861-53-1
RL: FORM (Formation, nonpreparative)
(formation of, as bromonordiazepam metabolite)
RN 116861-53-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-1,3-dihydro-5-(2-hydroxyphenyl)-9-methoxy- (CA INDEX NAME)



L11 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:437458 CAPLUS Full-text
DN 103:37458
OREF 103:6079a,6082a
TI 1-Azacycloalkyl-1,4-benzodiazepin-2-ones with antianxiety-antidepressant actions
AU Sugasawa, Tsutomu; Adachi, Makoto; Sasakura, Kazuyuki; Matsushita, Akira; Eigyo, Masami; Shiomi, Teruo; Shintaku, Haruyuki; Takahara, Yukio; Murata, Shunji
CS Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan
SO Journal of Medicinal Chemistry (1985), 28(6), 699-707
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 103:37458
GI



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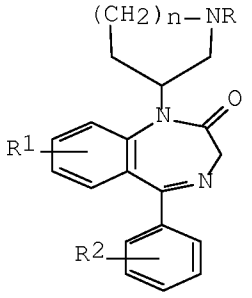
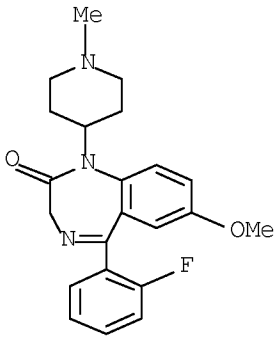


II

AB A series of 1-azacycloalkyl-1,4-benzodiazepin-2-ones I and II (R = H, Me, PhCH2CH2; R1, R2 = H, halo; n = 1, 2) were synthesized from 1-azacycloalkyl-2-benzoylanilines and corresponding imines and then evaluated for their central nervous system activities. Pharmacol. data showed that some of these compds. have potent antidepressant properties, as assessed by their antagonism of tetrabenzine induced ptosis and their inhibition of [3H]norepinephrine uptake into rat brain synaptosomes, as well as their moderate antianxiety properties of preventing of pentylenetetrazol convulsion, suppressing conflict behavior, and displacing potential for [3H]diazepam binding. Secondary function of the azacyclic ring at position 1 was essential for the production of the antidepressant properties. Of these new series, I (R = H; R1 = R2 = F) has the potential to become a useful antidepressant drug with a moderate antianxiety property.
IT 93592-72-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antianxiety-antidepressant activity of)
RN 93592-72-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(2-fluorophenyl)-1,3-dihydro-7-methoxy-1-(1-methyl-4-piperidinyl)- (CA INDEX NAME)


Me
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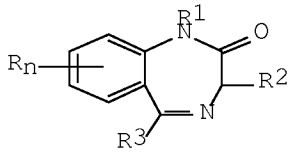
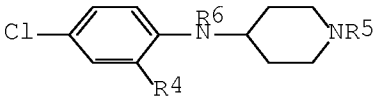
L11 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1985:6558 CAPLUS Full-text
DN 102:6558
OREF 102:1195a,1198a
TI 1,4-Benzodiazepine derivatives
IN Sugasawa, Tsutomu; Adachi, Makoto; Sasakura, Kazuyuki; Matsushita, Akira; Eigyo, Masami
PA Shionogi and Co., Ltd. , Japan
SO Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 111864	A1	19840627	EP 1983-112480	19831212
	EP 111864	B1	19900307		
	R: BE, CH, DE, FR, IT, LI, NL, SE				
	JP 59112984	A	19840629	JP 1982-225273	19821221
	JP 04060997	B	19920929		
	AU 8322111	A	19840628	AU 1983-22111	19831206
	AU 566510	B2	19871022		
	US 4560684	A	19851224	US 1983-560994	19831213
	ZA 8309293	A	19850227	ZA 1983-9293	19831214
	DK 8305871	A	19840622	DK 1983-5871	19831220
	GB 2133008	A	19840718	GB 1983-33983	19831221
	GB 2133008	B	19851120		
	CA 1220472	A1	19870414	CA 1983-443896	19831221
PRAI	JP 1982-225273	A	19821221		

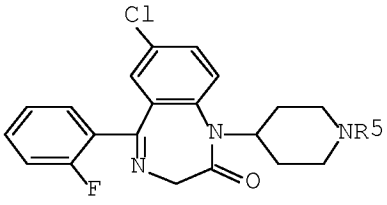
OS CASREACT 102:6558; MARPAT 102:6558
GI



I



II



III

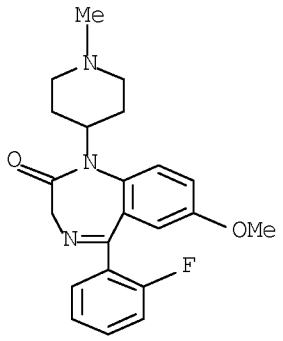
AB Benzodiazepinones I [R = H, halo, alkyl, alkoxy, NO2, CF3, dialkylamino; R1 = (un)substituted pyrrolidinyl, piperidinyl; R2 = H, OH, OAc; R3 = alkyl, phenylalkyl, Ph, (di)halophenyl; n = 1,2] were prepared Thus, 1-methyl-4-piperidone was reductively aminated with 4-ClC6H4NH2 and NaBH4 to give aminopiperidine II (R4 = R6 = H, R5 = Me), which was treated with BF3 and 2-FC6H4CN, and hydrolyzed with 2N HCl to give II (R4 = 2-FC6H4CO, R5 = Me, R6 = H). The latter compound was treated with ClCO2Et to give II (R5 = CO2Et),

which was decarboxylated to give II (R5 = H). This compound was treated with ClCO2CH2Ph to give II (R5 = CO2CH2Ph), which was chloroacetylated to give amide II (R4 = 2-FC6H4CO, R5 = CO2CH2Ph, R6 = COCH2Cl). This compound was treated with NaI to give the iodoacetyl derivative, which was heated with (NH4)2CO3 to give piperidinylbenzodiazepine III (R5 = CO2CH2Ph). This compound was decarboxylated with AlCl3 to give III (R5 = H), which in mice had an ED50 against tetrabenazine-induced ptosis of 0.075 mg/kg s.c. compared with 0.779 mg/kg for imipramine.

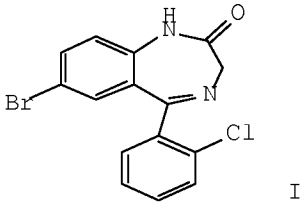
IT 93592-72-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93592-72-4 CAPLUS

CN 2H-1,4-Benzodiazepin-2-one, 5-(2-fluorophenyl)-1,3-dihydro-7-methoxy-1-(1-methyl-4-piperidinyl)- (CA INDEX NAME)

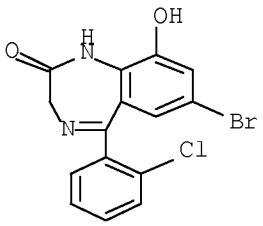


L11 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1980:436746 CAPLUS Full-text
DN 93:36746
OREF 93:5897a,5900a
TI Metabolism of phenazepam in rats
AU Golovenko, N. Ya.; Zin'kovskii, V. G.; Bogatskii, A. V.; Sharbatyan, P. A.; Andronati, S. A.
CS Odess. Univ., Odessa, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1980), 14(4), 15-21
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
GI

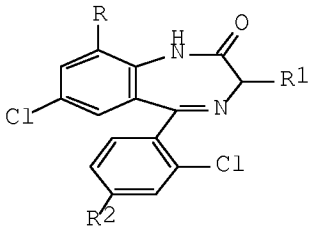


I

AB Phenazepam (I) [51753-57-2] injected i.p. into rats was metabolized to 3-hydroxyphenazepam [70030-11-4], 7-bromo-1,3-dihydro-5-(2-chloro-6-hydroxyphenyl)-2H-1,4-benzodiazepin-2- one [74077-25-1], 7-bromo-1,3-dihydro-5-(2-chloro-4-hydroxy-5- methoxyphenyl)-2H-1,4-benzodiazepin-2-one [74077-26-2], 7-bromo-1,3-dihydro-5-(2-chloro-3-hydroxyphenyl)-2H-1,4-benzodiazepin-2- one [74077-27-3], and 9-hydroxyphenazepam [74077-28-4] which were isolated from the urine. All I metabolites formed glucuronide conjugates.
IT 74077-28-4
RL: BIOL (Biological study)
(as phenazepam metabolite)
RN 74077-28-4 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-5-(2-chlorophenyl)-1,3-dihydro-9-hydroxy- (CA INDEX NAME)



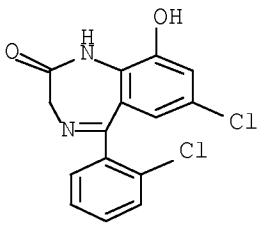
L11 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1979:179837 CAPLUS Full-text
DN 90:179837
OREF 90:28401a,28404a
TI Novel application of proton nuclear magnetic resonance spectroscopy in the identification of 2'-chloronordiazepam metabolites in the dog
AU Williams, Thomas H.; Sasso, Gino J.; Ryan, John J.; Schwartz, Morton A.
CS Chem. Res. Dep., Hoffmann-La Roche, Inc., Nutley, NJ, USA
SO Journal of Medicinal Chemistry (1979), 22(4), 436-40
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



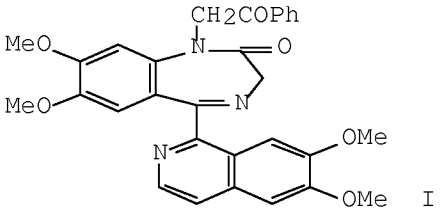
I, R=R1=H, R2=OH
II, R=OH, R1=R2=H
III, R=R1=R2=H
IV, R=R2=H, R1=OH

AB 2'-Chloro-4'-hydroxynordiazepam (I) [69937-58-2] and 2'-chloro-9-hydroxynordiazepam (II) [69937-59-3] were identified as metabolites of 2'-chloronordiazepam (III) [2894-67-9] in dogs in addition to the previously identified metabolite, lorazepam (IV) [846-49-1]. The structure of II was deduced from the observed NMR spectral AB (Jmeta = 2.5 Hz) pattern of the protons of the fused benzene ring. I was identified by attributing different sets of NMR substituent effect parameters to hydroxyl groups, depending on whether these groups were meta or para to the benzodiazepinimine function. The urinary and fecal excretion of I, II, and IV in 1 dog (10 mg/kg III, orally) was 5, 7, and 20%, resp. Conjugates of glucuronic acid and(or) sulfate were the predominant urinary metabolites.

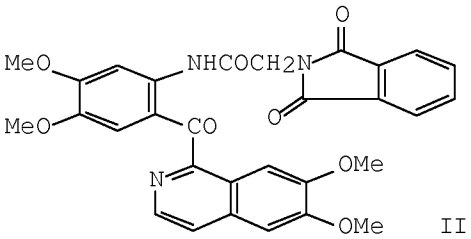
IT 69937-59-3
RL: BIOL (Biological study)
(as chloronordiazepam metabolite)
RN 69937-59-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-chloro-5-(2-chlorophenyl)-1,3-dihydro-9-hydroxy- (CA INDEX NAME)



L11 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1977:189880 CAPLUS Full-text
DN 86:189880
OREF 86:29785a,29788a
TI 1,4-Benzodiazepines. V. Synthesis of 7,8-dimethoxy-5-[6',7'-dimethoxyisoquinolyl]-1,3-dihydro-2H-1,4-benzodiazepin-2-ones
AU Ivanov, Ch.
CS Nauchno-Issled. Khim.-Farm. Inst., Sofia, Bulg.
SO Khimiko-Farmatsevticheskii Zhurnal (1977), 11(2), 32-6
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 86:189880
GI



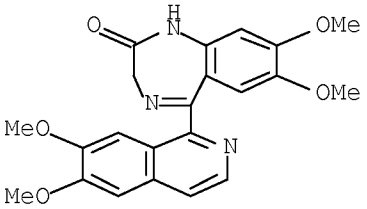
I



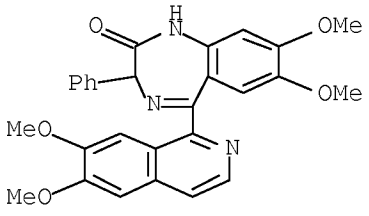
II

AB The title compound I was prepared in 8 steps from papaverine by nitration, reduction, reaction with ClCO2Et, oxidation (SeO2), hydrolysis, condensation with phthalimidoacetyl chloride to give II, cyclocondensation with N2H4.H2O, and acylation with PhCOCH2Br.

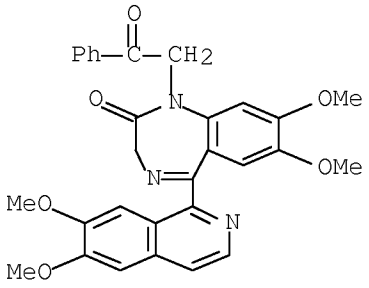
IT 62775-39-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acylation with phenacyl bromide)
RN 62775-39-7 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(6,7-dimethoxy-1-isoquinolinyl)-1,3-dihydro-7,8-dimethoxy- (CA INDEX NAME)



IT 62775-40-0P 62775-41-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62775-40-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(6,7-dimethoxy-1-isoquinolinyl)-1,3-dihydro-7,8-dimethoxy-3-phenyl- (CA INDEX NAME)



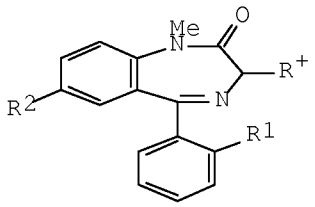
RN 62775-41-1 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 5-(6,7-dimethoxy-1-isoquinolinyl)-1,3-dihydro-7,8-dimethoxy-1-(2-oxo-2-phenylethyl)- (CA INDEX NAME)



L11 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1977:89915 CAPLUS Full-text
DN 86:89915
OREF 86:14205a,14208a
TI 1,3-Dihydro-2H-1,4-benzodiazepin-2-one derivatives
IN Kajfez, Franjo; Kovac, Tomislav; Sunjic, Vitomir
PA CRC Compagnia di Ricerca Chimica S. A., Switz.
SO Ger. Offen., 14 pp. Division of Ger. Offen. 2,245,412.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2265139	A1	19761209	DE 1972-2265139	19720915
	DE 2265139	B2	19771117		
	CH 559189	A5	19750228	CH 1971-13498	19710915
	CH 588473	A5	19770615	CH 1972-7856	19720526
	IL 40312	A	19760930	IL 1972-40312	19720906
	GB 1407493	A	19750924	GB 1972-41656	19720907
	US 3852274	A	19741203	US 1972-289143	19720914
	HU 166372	B	19750328	HU 1972-CA336	19720914
	CA 998668	A1	19761019	CA 1972-151758	19720914
	NO 137895	B	19780206	NO 1972-3268	19720914
	BE 788899	A1	19730102	BE 1972-122090	19720915
	NL 7212584	A	19730319	NL 1972-12584	19720915
	AT 7207940	A	19750415	AT 1972-7940	19720915
	AT 327201	B	19760126		
	AT 7408769	A	19750415	AT 1972-876974	19720915
	JP 48044288	A	19730626	JP 1972-93143	19720916
	JP 52041274	B	19771017		
	SE 7601317	A	19760206	SE 1976-1317	19760206
	JP 51125093	A	19761101	JP 1976-43492	19760415
	NL 7614227	A	19770429	NL 1976-14227	19761221
	FI 7700990	A	19770330	FI 1977-990	19770330
PRAI	CH 1971-13498	A	19710915		
	CH 1972-7856	A	19720526		
	FI 1972-2529	A	19720914		

GI



I

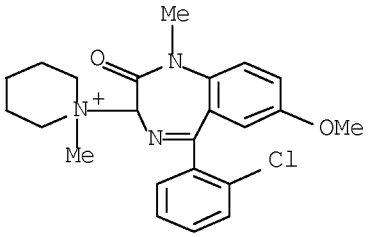
AB Benzodiazepinone derivs. [I; R = 4-methylmorpholinio, 2-ethyl-4-methyl morpholinio, 1-methylpiperidinio, 2-ethyl-6-(2-hydroxyethyl)-4-methylmorpholinio, 2-methyl-1-pyrimidinio; R1 = H, Cl; R2 = Cl, NO2, MeO], useful as tranquilizers with lower toxicity than known benzodiazepinones, are

prepared by reaction of 3-chlorobenzodiazepinones with the appropriate N heterocycles. Thus, reaction of 3,7-dichloro-1,3-dihydro-1-methyl-5- phenyl-2H-1,4-benzodiazepin-2-one with 4-methylmorpholine in MeCN for 28 h at 60° gives I (R = 4-methylmorpholinio, R1 = H, R2 = Cl).

IT 40967-15-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tranquilizing activity of)

RN 40967-15-5 CAPLUS

CN Piperidinium, 1-[5-(2-chlorophenyl)-2,3-dihydro-7-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

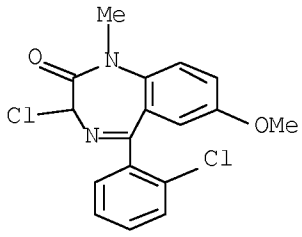


● Cl⁻

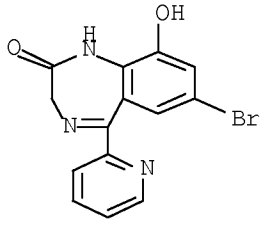
IT 51005-96-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with N heterocycles)

RN 51005-96-0 CAPLUS

CN 2H-1,4-Benzodiazepin-2-one, 3-chloro-5-(2-chlorophenyl)-1,3-dihydro-7-methoxy-1-methyl- (CA INDEX NAME)



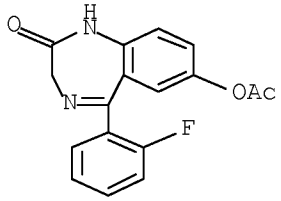
L11 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1975:471429 CAPLUS Full-text
DN 83:71429
OREF 83:11145a,11148a
TI Metabolism of bromazepam. V. Identification of new urinary metabolites and their excretion pattern in various animal species
AU Sawada, Hideo; Hara, Akira
CS Fac. Pharm. Sci., Tokushima Univ. Arts Sci., Tokushima, Japan
SO Yakugaku Zasshi (1975), 95(4), 430-8
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
GI For diagram(s), see printed CA Issue.
AB The five metabolites appearing in the urine of the rabbit fed bromazepam (I) [1812-30-2] were 2-(2-amino-5-bromobenzoyl)pyridine (ABBP) [1563-56-0], 2-(2-amino-5-bromo-3-hydroxybenzoyl)pyridine (3-OH ABBP) [40951-53-9], 3-hydroxybromazepam [13132-73-5], and two new metabolites, 9-hydroxybromazepam [55750-03-3] and 5'-hydroxybromazepam [55750-04-4]. The new metabolites were characterized by thin-layer chromatog. (TLC), elemental anal., and ir, nuclear magnetic resonance, and mass spectrometries. Metabolites, which were excreted mainly as conjugates of glucuronic acid and/or sulfuric acid, were measured by preparative TLC and characteristic colorimetric method after enzymic hydrolysis of the conjugates. Urinary excretion of bromazepam and its metabolites have been studied in dogs, rabbits, mice, rats, and guinea pigs after massive doses of bromazepam. The conjugated 3-OH ABBP was the major metabolite in rabbits (17.1% of the dose) and dogs (6.2% of the dose) during 24 hr. The major metabolites found in rats, however, were the conjugated form of 3-OH ABBP (5.3% of the dose), 5'-hydroxybromazepam (4.2% of the dose), and ABBP (3.8% of the dose), and 9-hydroxybromazepam was not excreted. In mice the major metabolite was the unconjugated form of 3-hydroxybromazepam (7.5% of the dose). In guinea pigs, two unknown metabolites, besides the above five metabolites, were excreted, and it was confirmed that one of them was benzhydrol analog of ABBP. When intraperitoneal injection was compared with oral administration of the same dose in the rabbit, the urinary excretion of the ring-cleaved metabolites, ABBP and 3-OH ABBP, decreased, but that of the others, 3-hydroxybromazepam and 5'-hydroxybromazepam, increased.
IT 55750-03-3
RL: BIOL (Biological study)
(as bromazepam metabolite)
RN 55750-03-3 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-bromo-1,3-dihydro-9-hydroxy-5-(2-pyridinyl)- (CA INDEX NAME)



L11 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1974:403982 CAPLUS Full-text
DN 81:3982
OREF 81:651a,654a
TI 7-(1,1-Difluoroalkyl)-1,4-benzodiazepines
IN Ning, Robert Y.
PA Hoffman-La Roche Inc.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3801569	A	19740402	US 1973-323549	19730115
	JP 49101392	A	19740925	JP 1974-6701	19740114
	GB 1390602	A	19750416	GB 1974-1755	19740114
	FR 2273547	A1	19760102	FR 1974-1150	19740114
	DE 2401751	A1	19740718	DE 1974-2401751	19740115
PRAI	US 1973-323549	A	19730115		

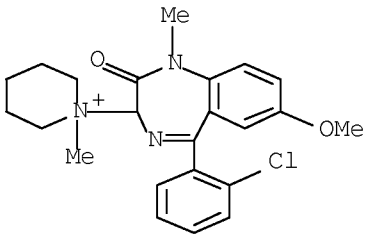
GI For diagram(s), see printed CA Issue.
AB Fluorination of the acetylbenzodiazepinone derivative I (Z = O, R = H) with MoF6 gave I (Z = F2, R = H), which was methylated (MeI-NaH) to give I (Z = F2, R = Me), reduced (PtO2) to the 1,3,4,5-tetrahydro derivative, and oxidized (m-ClC6H4CO2OH) to give the 4-oxide.
IT 52589-63-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(fluorination of, by molybdenum hexafluoride)
RN 52589-63-6 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 7-(acetyloxy)-5-(2-fluorophenyl)-1,3-dihydro- (CA INDEX NAME)



L11 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1973:492297 CAPLUS Full-text
DN 79:92297
OREF 79:14999a,15002a
TI 1,4-Benzodiazepin-2-one derivatives as tranquilizers and sedatives
PA CRC Compagnia di Ricerca Chimica S.A.
SO Fr. Demande, 24 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 6

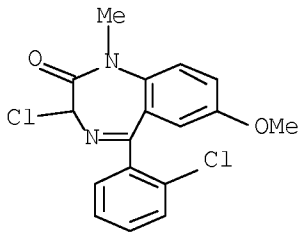
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2154508	A1	19730511	FR 1972-32474	19720913
	FR 2154508	B1	19751128		
	CH 559189	A5	19750228	CH 1971-13498	19710915
	ZA 7206162	A	19730530	ZA 1972-6162	19720908
	SU 614749	A3	19780705	SU 1972-1827982	19720913
	FI 7700990	A	19770330	FI 1977-990	19770330
PRAI	CH 1971-13498	A	19710915		
	CH 1972-7856	A	19720526		
	FI 1972-2529	A	19720914		

GI For diagram(s), see printed CA Issue.
AB The benzodiazepinones (I; R = Me, Ph, H; R1 = Cl, HOCH2CH2O, 2,2-dimethyl-1,3-dioxolanylmethoxy, HOCH2CH(OH)CH2O, Cl3CCO2, pyridinium, 3-carbamoylpyridinium; R2 = H, 2-Cl) were prepared by etherification of the 3-chloro derivative Thus, 3 g Temazepam was treated with 35 ml SO2Cl2 to give 85% I (R = Me, R1 = Cl, R2 = H) which was (3.19 g) treated with HOCH2CH2OH to give 85% I (R = Me, R2 = H, R1 = HOCH2CH2O).
IT 40967-15-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40967-15-5 CAPLUS
CN Piperidinium, 1-[5-(2-chlorophenyl)-2,3-dihydro-7-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-1-methyl-, chloride (9CI) (CA INDEX NAME)



● Cl−

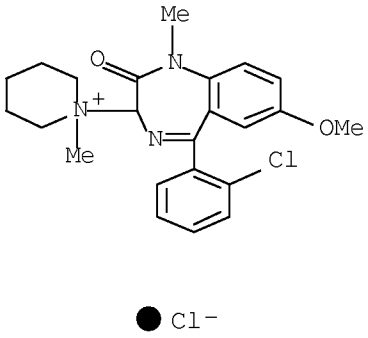
IT 51005-96-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization by piperidines)
RN 51005-96-0 CAPLUS
CN 2H-1,4-Benzodiazepin-2-one, 3-chloro-5-(2-chlorophenyl)-1,3-dihydro-7-methoxy-1-methyl- (CA INDEX NAME)


Me
O
N
Cl
N
OMe
Cl

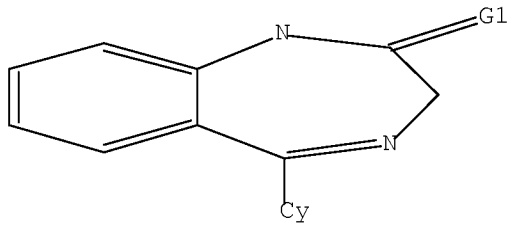
L11 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1973:159692 CAPLUS Full-text
DN 78:159692
OREF 78:25647a,25650a
TI 1,4-Benzodiazepin-2-one derivatives
IN Kajfez, Franjo; Kovac, Tomislav; Sunjic, Vitomir
PA CRC Compagnia di Ricerca Chimica S. A.
SO Ger. Offen., 40 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2245412	A1	19730322	DE 1972-2245412	19720915
	DE 2245412	B2	19770825		
	CH 559189	A5	19750228	CH 1971-13498	19710915
	CH 588473	A5	19770615	CH 1972-7856	19720526
	IL 40312	A	19760930	IL 1972-40312	19720906
	GB 1407493	A	19750924	GB 1972-41656	19720907
	US 3852274	A	19741203	US 1972-289143	19720914
	HU 166372	B	19750328	HU 1972-CA336	19720914
	CA 998668	A1	19761019	CA 1972-151758	19720914
	NO 137895	B	19780206	NO 1972-3268	19720914
	BE 788899	A1	19730102	BE 1972-122090	19720915
	NL 7212584	A	19730319	NL 1972-12584	19720915
	AT 7207940	A	19750415	AT 1972-7940	19720915
	AT 327201	B	19760126		
	AT 7408769	A	19750415	AT 1972-876974	19720915
	JP 48044288	A	19730626	JP 1972-93143	19720916
	JP 52041274	B	19771017		
	SE 7601317	A	19760206	SE 1976-1317	19760206
	JP 51125093	A	19761101	JP 1976-43492	19760415
	NL 7614227	A	19770429	NL 1976-14227	19761221
	FI 7700990	A	19770330	FI 1977-990	19770330
PRAI	CH 1971-13498	A	19710915		
	CH 1972-7856	A	19720526		
	FI 1972-2529	A	19720914		

GI For diagram(s), see printed CA Issue.
AB The benzodiazepinones I (R = H, Me; R1 = OCH2CHOH, 2,3-isopropylidenedioxypropoxy, OCH2CH(OH)CH2OH, pyridinium chloride, 1-nicotinamidinium chloride, N-methylmorpholinium chloride, NMeEt.HCl; R2 = H, Cl) and some related compds. were prepared by chlorinating I (R = H, Me; R1 = OH; R2 = H, Cl) with SOCl2 to I (R1 = Cl) and treating these with e.g. HOCH2CH2OH or pyridine. I (R = H, Me; R1 = COCCl3; R2 = H) were prepared directly by treating I (R1 = OH) with CCl3COCl. Thus I (R = Me, R1 = OH, R2 = H) was chlorinated with SOCl2 to 98% I (R = Me, R1 = Cl, R2 = H), which with HOCH2CH2OH gave 85% I (R = Me, R1 = OCH2CH2OH, R2 = H). The quaternary ammonium compds. were water-soluble, making them suitable for the manufacture of injectable solns.
IT 40967-15-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40967-15-5 CAPLUS
CN Piperidinium, 1-[5-(2-chlorophenyl)-2,3-dihydro-7-methoxy-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-1-methyl-, chloride (9CI) (CA INDEX NAME)


Me
O
N
N+
N
Me
OMe
Cl
Cl-

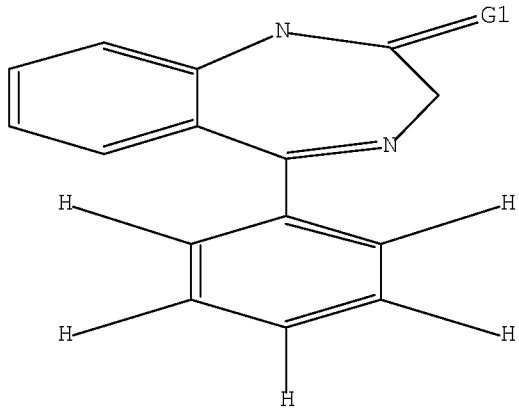
=> d l1; d l4; d l8; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

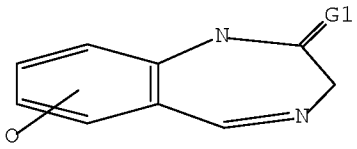
L4 HAS NO ANSWERS
L4 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

L8 HAS NO ANSWERS
L7 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.
L8 QUE ABB=ON PLU=ON L7

(FILE 'HOME' ENTERED AT 10:53:02 ON 21 NOV 2008)

FILE 'REGISTRY' ENTERED AT 10:53:40 ON 21 NOV 2008
ACT A10533157/A

L1 STR
L2 (16056)SEA FILE=REGISTRY SSS FUL L1

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L3  (     15147)SEA FILE=REGISTRY ABB=ON  PLU=ON  L2 AND (PHENYL? OR NAPHTHY? O
L4              STR
L5  (      8756)SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L6          6391 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 NOT L5
                ---------
L7              STRUCTURE UPLOADED
L8              QUE L7
L9            18 S L8 SAM SUB=L6
L10          228 S L8 FUL SUB=L6

     FILE 'CAPLUS' ENTERED AT 10:54:58 ON 21 NOV 2008
L11           23 S L10


COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     126.79     305.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -18.40     -18.40

STN INTERNATIONAL LOGOFF AT 10:56:29 ON 21 NOV 2008
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